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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40
minutes
NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source
(CS) field
NEWS 4 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5 AUG 24 CA/CAPLUS enhanced with legal status information for
U.S. patents
NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in
CAS REGISTRY
NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM
thesaurus
NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and
Taiwanese Content Expanded
NEWS 9 OCT 21 Derwent World Patents Index enhanced with human
translated claims for Chinese Applications and
Utility Models
NEWS 10 OCT 27 Free display of legal status information in CA/CAPLUS,
USPATFULL, and USPAT2 in the month of November.
NEWS 11 NOV 23 Addition of SCAN format to selected STN databases
NEWS 12 NOV 23 Annual Reload of IFI Databases

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 19:08:16 ON 23 NOV 2009

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

FULL ESTIMATED COST

0.22 0.22

FILE 'REGISTRY' ENTERED AT 19:08:27 ON 23 NOV 2009
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STRUCTURE FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9
DICTIONARY FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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Uploading C:\Program Files\STNEXP\Queries\10535268.str



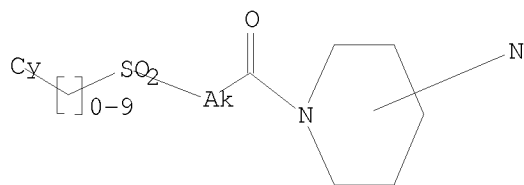
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ring nodes :
1 2 3 4 5 6
ring/chain nodes :
15
chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8 7-9 9-10 11-12
exact bonds :
10-11
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:Atom 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 19:08:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 11603 TO ITERATE

17.2% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 225604 TO 238516
PROJECTED ANSWERS: 1 TO 260

L2 1 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED - 230123 TO ITERATE

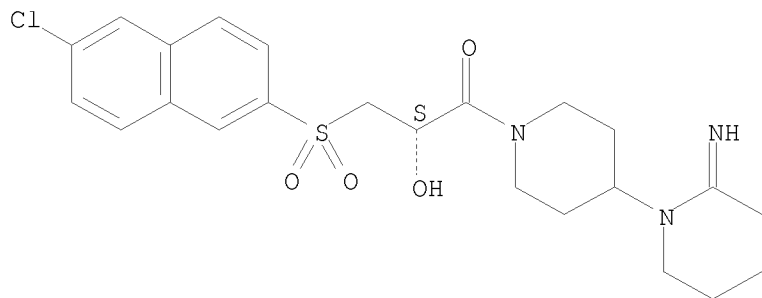
100.0% PROCESSED 230123 ITERATIONS 256 ANSWERS
SEARCH TIME: 00.00.05

L3 256 SEA SSS FUL L1

=> d scan

L3 256 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
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imino[1,4'-bipiperidin]-1'-yl)-, (2S)-
MF C23 H28 Cl N3 O4 S
CI COM

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	185.88	186.10

FILE 'CAPLUS' ENTERED AT 19:09:15 ON 23 NOV 2009
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FILE COVERS 1907 - 23 Nov 2009 VOL 151 ISS 22
FILE LAST UPDATED: 22 Nov 2009 (20091122/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAPLUS family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> d his

(FILE 'HOME' ENTERED AT 19:08:16 ON 23 NOV 2009)

FILE 'REGISTRY' ENTERED AT 19:08:27 ON 23 NOV 2009

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 256 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 19:09:15 ON 23 NOV 2009

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3991119 PRY<2003
22992685 PY<2003

L4 12 L3 AND (PRY<2003 OR PY<2003)

=> s 1-12 ibib abs hitstr

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1682331 12
16 IBIB
256174 ABS
3 ABSES
256177 ABS

(ABS OR ABSES)

L5 0 1-12 IBIB ABS HITSTR
(1(W)12(W)IBIB(W)ABS(W)HITSTR)

=> s 14

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3991119 PRY<2003
22992685 PY<2003

L6 12 L3 AND (PRY<2003 OR PY<2003)

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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1300802 CAPLUS

DOCUMENT NUMBER: 149:513860

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Kirschmeier, Paul; Bannerji, Rajat; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas W.

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoopia, Inc.

SOURCE: PCT Int. Appl., 635pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008130570	A1	20081030	WO 2008-US4907	20080416
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080050384	A1	20080228	US 2007-788847	20070420 <--
PRIORITY APPLN. INFO.:			US 2007-788847	A 20070420
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			US 2002-421959P	P 20021029 <--
			US 2003-654546	A2 20030903

US 2004-776988

A3 20040211

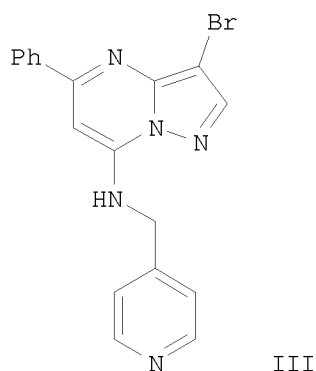
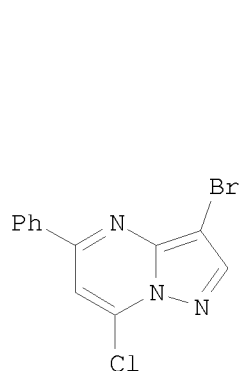
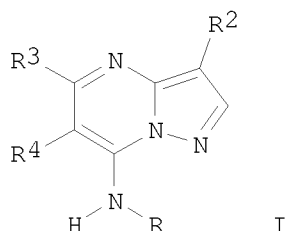
US 2006-396079

B2 20060331

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:513860

GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are claimed.

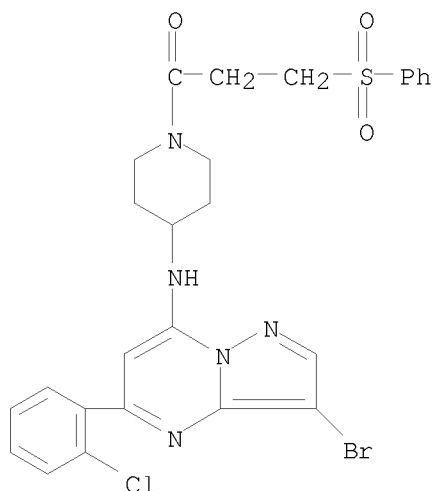
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



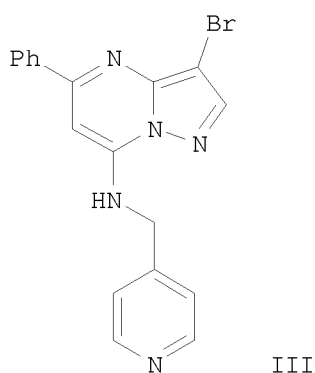
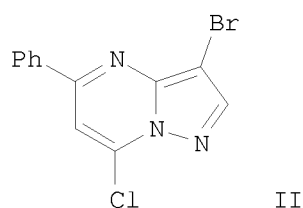
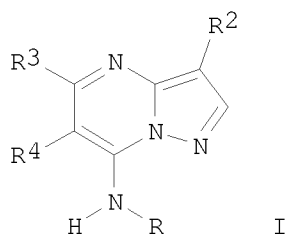
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:1300618 CAPLUS
 DOCUMENT NUMBER: 149:513859
 TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 723pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008130569	A1	20081030	WO 2008-US4906	20080416
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070281951	A1	20071206	US 2007-788856	20070420 <--
PRIORITY APPLN. INFO.:			US 2007-788856	A 20070420
			US 2002-408027P	P 20020904 <--
			US 2002-421959P	P 20021029 <--
			US 2003-654546	A2 20030903
			US 2004-776988	A2 20040211
			US 2005-245401	A3 20051006
			US 2007-710644	A2 20070223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:513859
GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R² = alkyl, halo, aryl, etc.; R³ = H, halo, aryl, etc.; R⁴ = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC₅₀ of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

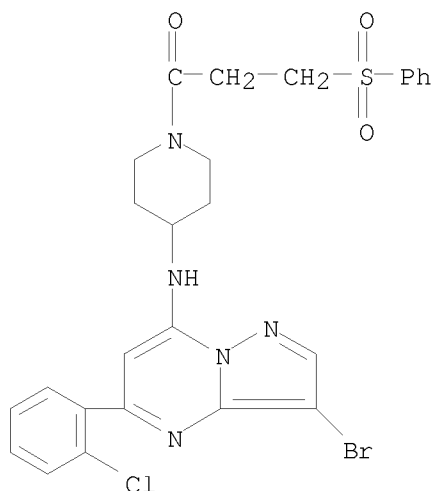
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:251311 CAPLUS

DOCUMENT NUMBER: 148:308364

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh; Kirschmeier, Paul; Bannerji, Rajat

PATENT ASSIGNEE(S): Shering Corporation and Pharmacoepia, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 387 pp., Cont.-in-part of U.S. Ser. No. 396,079.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080050384	A1	20080228	US 2007-788847	20070420 <--
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
US 7161003	B2	20070109	US 2003-654546	20030903 <--
US 20070037824	A1	20070215		
US 20040209878	A1	20041021	US 2004-776988	20040211 <--
US 7119200	B2	20061010		
ZA 2005001855	A	20060329	ZA 2005-1855	20060117 <--
US 20070054925	A1	20070308	US 2006-396079	20060331 <--
WO 2008130570	A1	20081030	WO 2008-US4907	20080416

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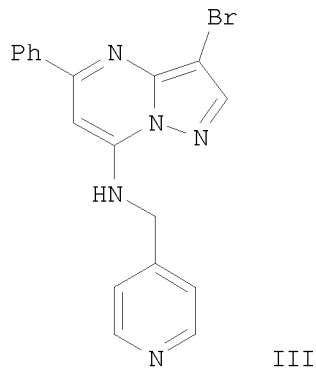
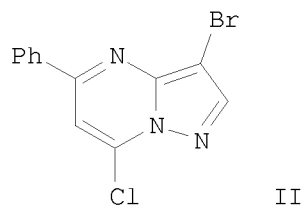
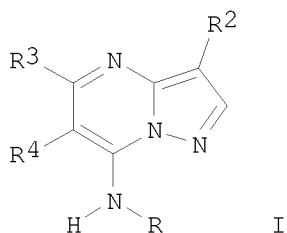
PRIORITY APPLN. INFO.:

US 2002-408027P P 20020904 <--
 US 2002-421959P P 20021029 <--
 US 2003-654546 A2 20030903
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 US 2006-396079 B2 20060331
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 US 2007-788847 A 20070420

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:308364

GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are claimed.

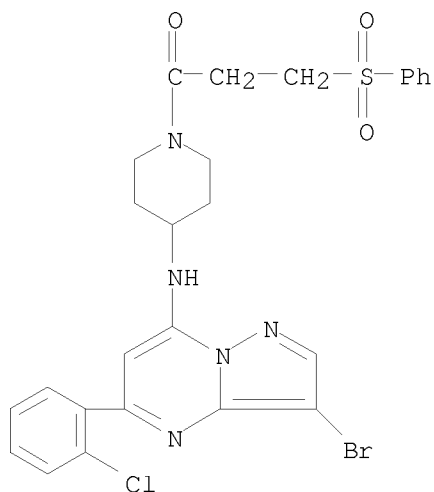
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1395785 CAPLUS

DOCUMENT NUMBER: 148:55084

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S. Ser. No. 710,644.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070281951	A1	20071206	US 2007-788856	20070420 <--
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
US 7161003	B2	20070109	US 2003-654546	20030903 <--
US 20070037824	A1	20070215		
US 20040209878	A1	20041021	US 2004-776988	20040211 <--
US 7119200	B2	20061010		
US 20060128725	A1	20060615	US 2005-245401	20051006 <--
US 7196078	B2	20070327		
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WO 2008130569	A1	20081030	WO 2008-US4906	20080416

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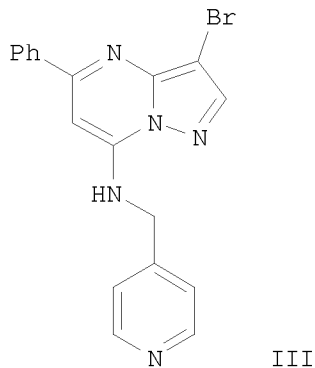
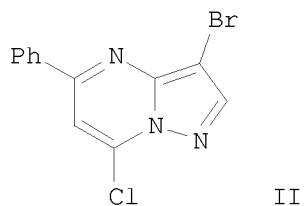
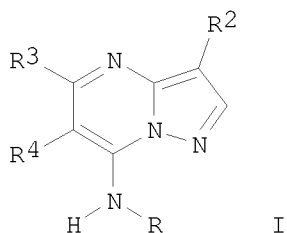
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US 2007-788856	A	20070420

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:55084

GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

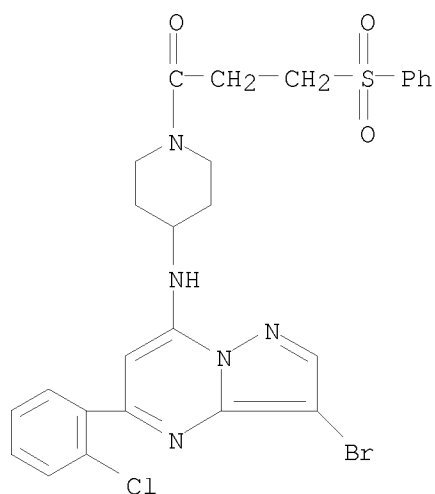
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

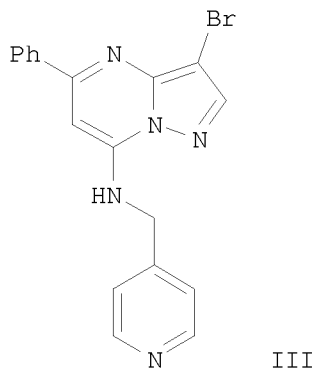
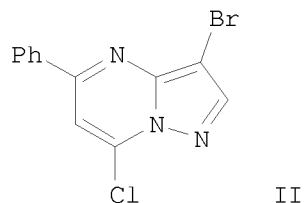
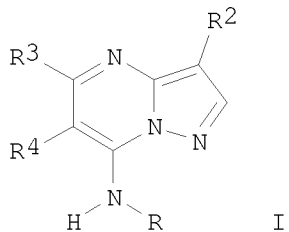


L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:579598 CAPLUS
 DOCUMENT NUMBER: 145:62916
 TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
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US 7196078	B2	20070327		
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US 7605155	B2	20091020		
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 US 2005-245401 A2 20051006
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 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 145:62916
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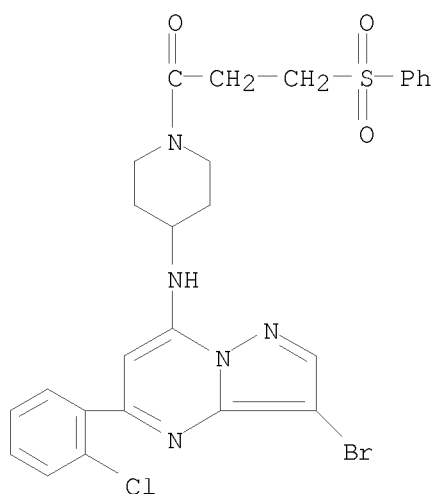


AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

IT 677789-58-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:980998 CAPLUS

DOCUMENT NUMBER: 141:379942

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

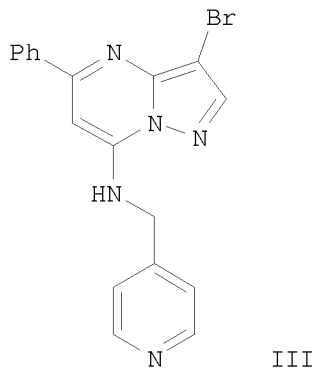
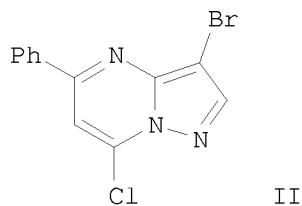
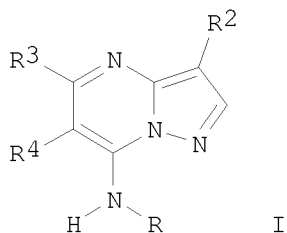
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This

abstract

record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

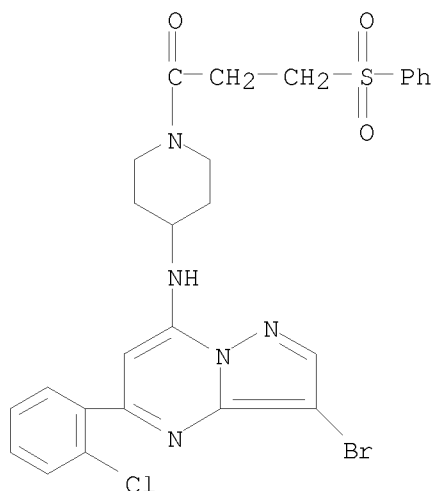
IT 677789-58-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



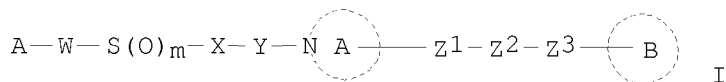
L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:467881 CAPLUS
 DOCUMENT NUMBER: 141:38631
 TITLE: Imidazole derivative, process for producing the same,
 and use
 INVENTOR(S): Kubo, Keiji; Kuroita, Takanobu; Imaeda, Yasuhiro;
 Kawamura, Masaki
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 318 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
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WO 2004048363	A1	20040610	WO 2003-JP14793	20031120 <--
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:38631

GI



AB Imidazole derivs. represented by the formula (I) [wherein R = each optionally substituted cyclic hydrocarbon group or heterocyclic group; W = a bond, optionally substituted divalent chain hydrocarbon group; X = optionally substituted divalent hydrocarbon group; Y = CO, S(O), S(O)₂, a bond; ring A = each optionally substituted pyrrolidine ring, piperidine ring, or perhydroazepine ring; Z1, Z3 = each independently a bond or optionally substituted divalent chain hydrocarbon group; Z2 = N(R1), O, S(O), S(O)₂, CO, CH(R1), a bond; ring B = an optionally substituted imidazole ring, provided that a substituent of the imidazole ring represented by B may be bonded to R1 to form an optionally substituted ring; m = 0, 1, 2] are prepared. These imidazole derivs. are inhibitors of activated blood coagulation factor X (FXa) and useful as anticoagulants for the prevention and/or treatment of myocardial infarction, cerebral infarction, deep venous thrombosis, pulmonary thromboembolism and embolism, obstructive arteriosclerosis, economy class syndromes, thromboembolism and embolism during or after surgery, or the second onset of deep venous thrombosis. Thus, 5-methyl-2-(4-piperidinyl)-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one was condensed with 3-[(6-chloro-2-naphthyl)sulfonyl]propionic acid using HOBt, Et₃N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH₂Cl₂ to give 52% 2-[1-[3-[(6-chloro-2-naphthyl)sulfonyl]propanoyl]-4-piperidinyl]-5-methyl-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one (II). II showed IC₅₀ of 5.6 nM for inhibiting FXa. Pharmaceutical formulations, e.g. a gelatine capsule containing II, were described.

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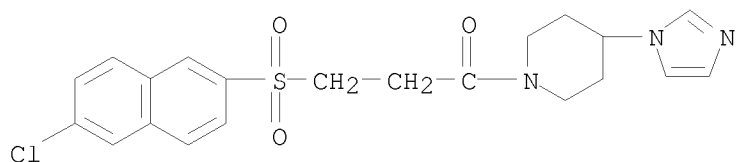
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

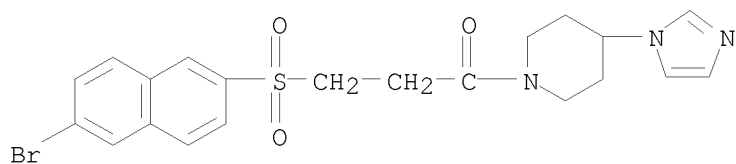
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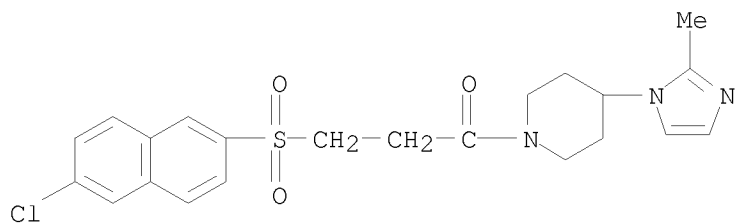
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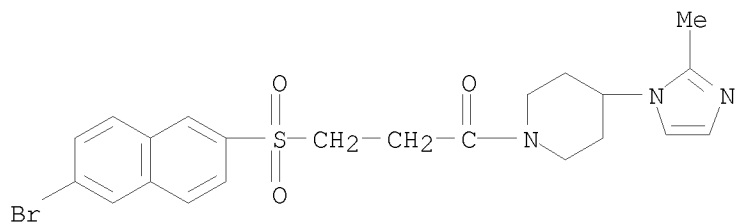
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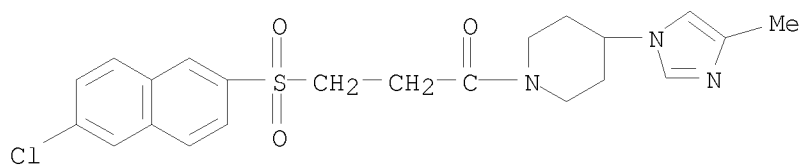
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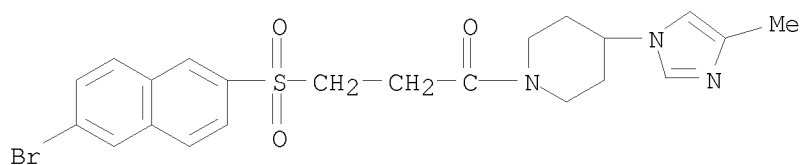
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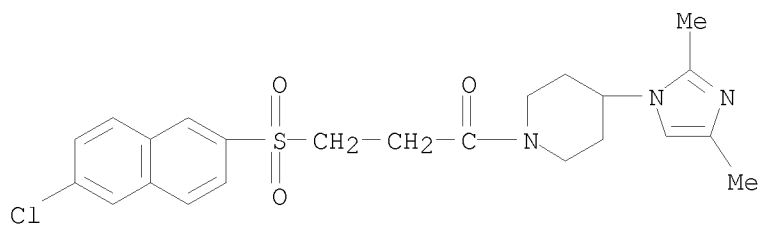
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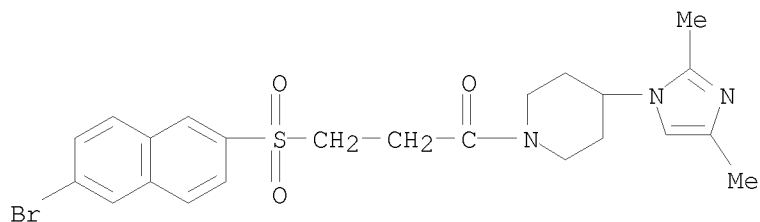
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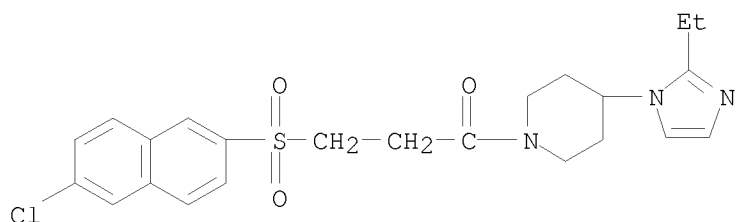
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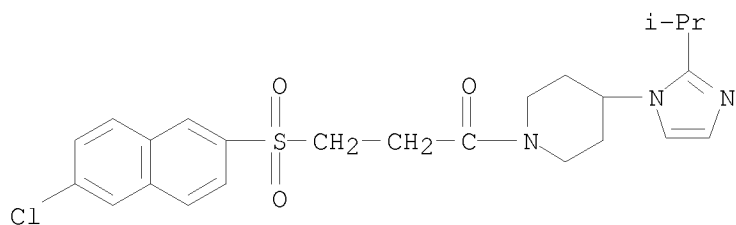
RN 701295-71-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-ethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



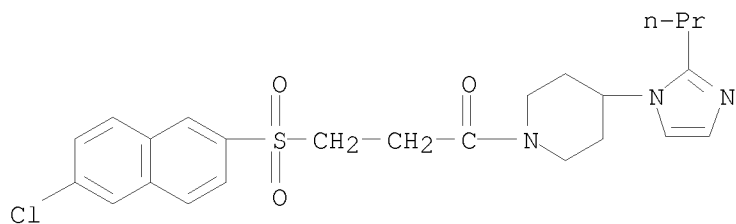
RN 701295-72-9 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(1-methylethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



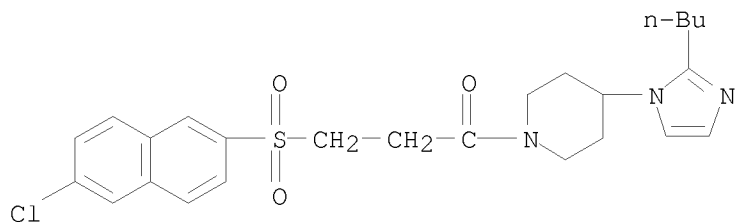
RN 701295-73-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-propyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



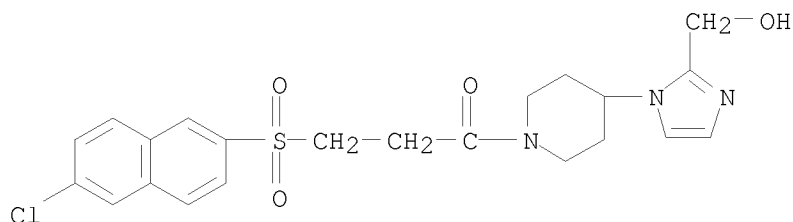
RN 701295-74-1 CAPLUS

CN 1-Propanone, 1-[4-(2-butyl-1H-imidazol-1-yl)-1-piperidinyl]-3-[(6-chloro-2-naphthalenyl)sulfonyl]- (CA INDEX NAME)



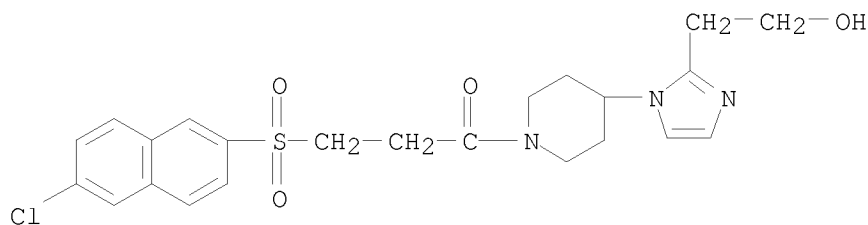
RN 701295-75-2 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(hydroxymethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



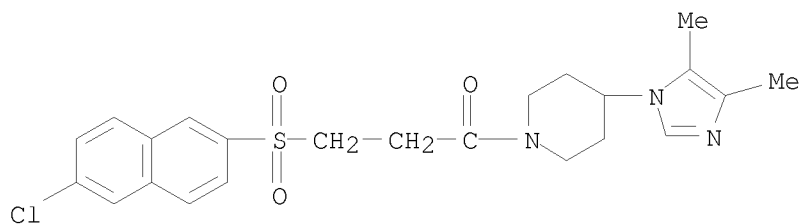
RN 701295-76-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(2-hydroxyethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



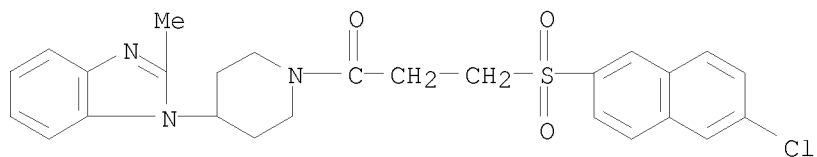
RN 701295-77-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4,5-dimethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

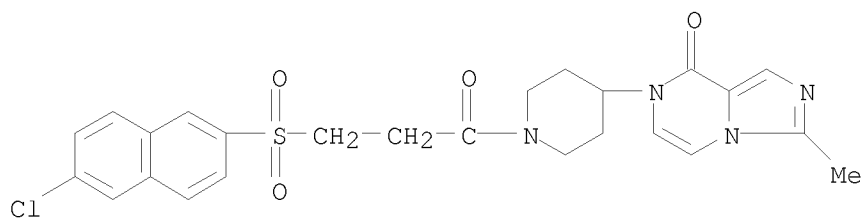


RN 701295-78-5 CAPLUS

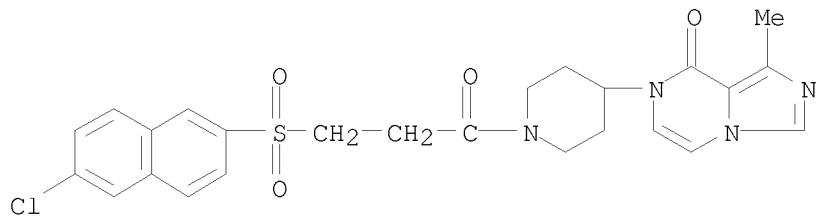
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-benzimidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



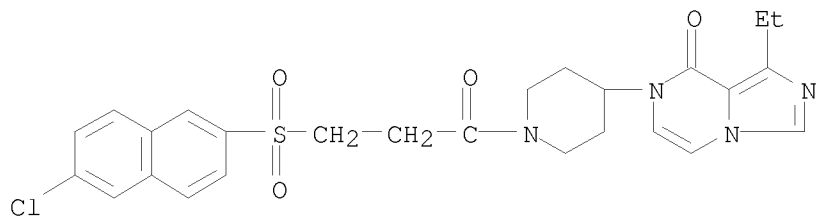
RN 701296-00-6 CAPLUS
 CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-3-methyl- (CA INDEX NAME)



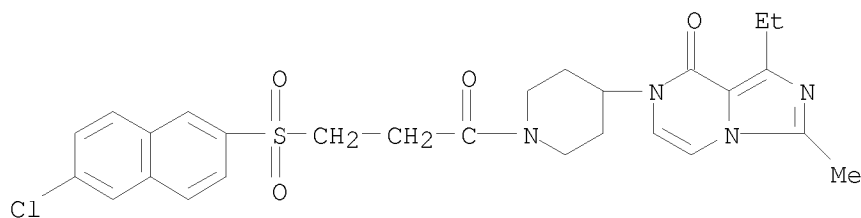
RN 701296-01-7 CAPLUS
 CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-methyl- (CA INDEX NAME)



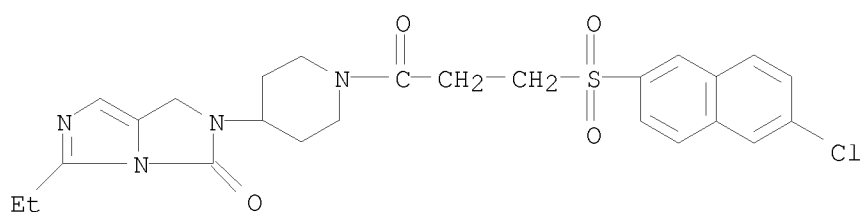
RN 701296-02-8 CAPLUS
 CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl- (CA INDEX NAME)



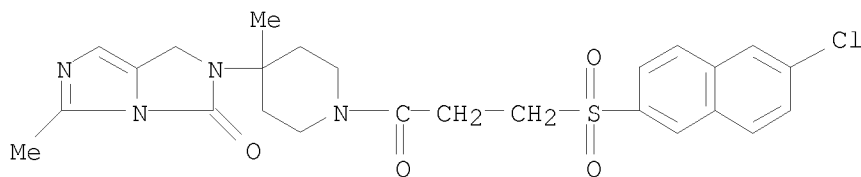
RN 701296-03-9 CAPLUS
 CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl-3-methyl- (CA INDEX NAME)



RN 701296-04-0 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro- (CA INDEX NAME)

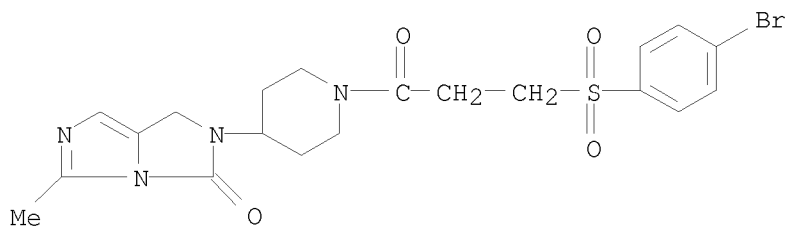


RN 701296-05-1 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)



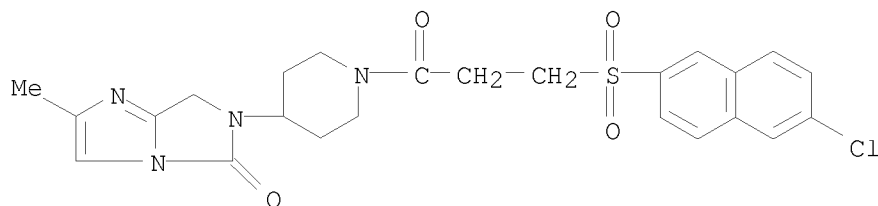
● HCl

RN 701296-06-2 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(4-bromophenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



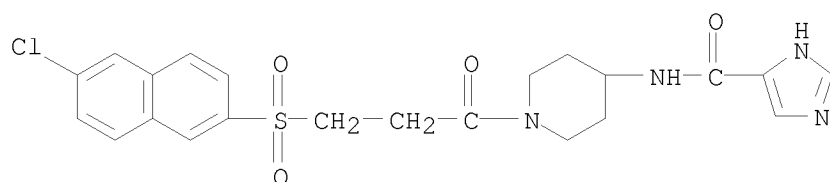
RN 701296-07-3 CAPLUS
 CN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-2-methyl-

(CA INDEX NAME)



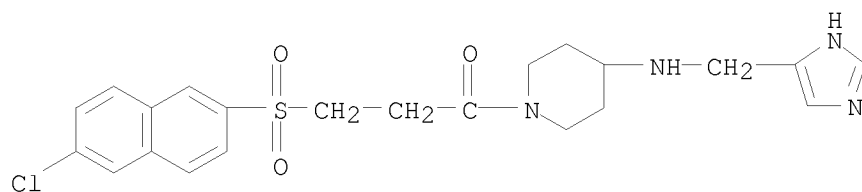
RN 701296-12-0 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]- (CA INDEX NAME)



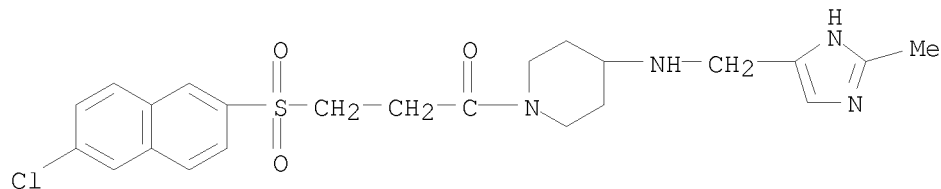
RN 701296-13-1 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-ylmethyl)amino]-1-piperidiny]- (CA INDEX NAME)



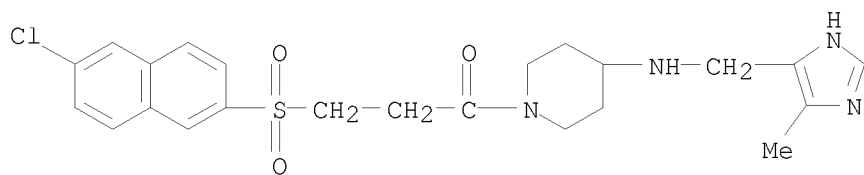
RN 701296-14-2 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(2-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidiny]- (CA INDEX NAME)



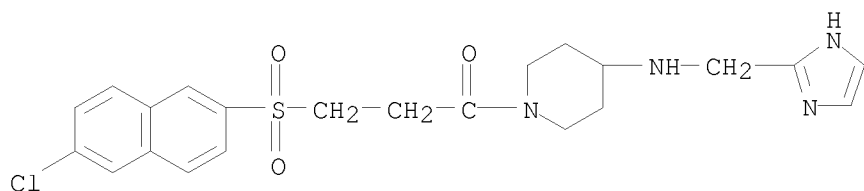
RN 701296-15-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidiny]- (CA INDEX NAME)



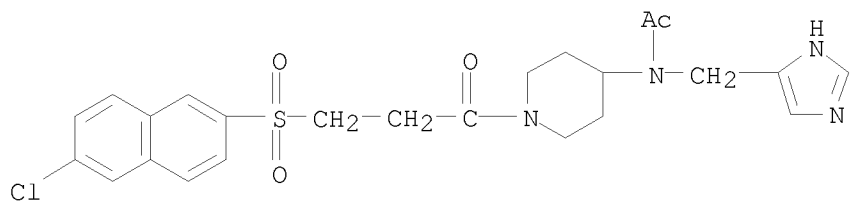
RN 701296-16-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-2-ylmethyl)amino]-1-piperidinyl]- (CA INDEX NAME)



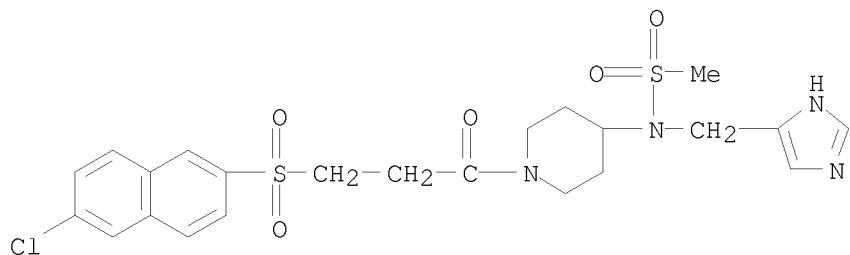
RN 701296-17-5 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)



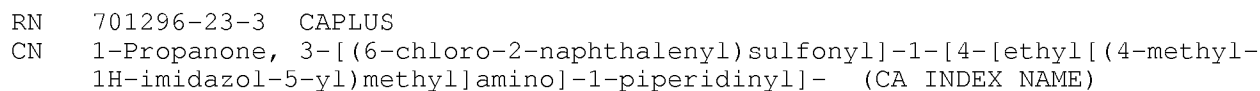
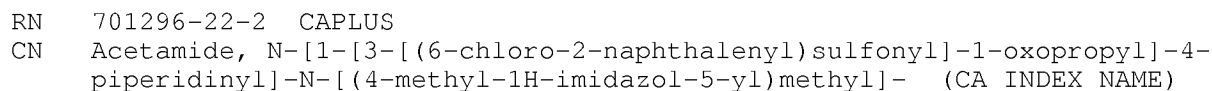
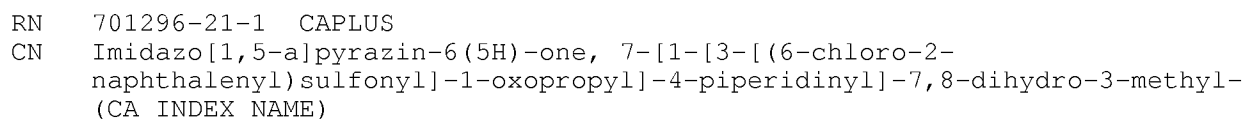
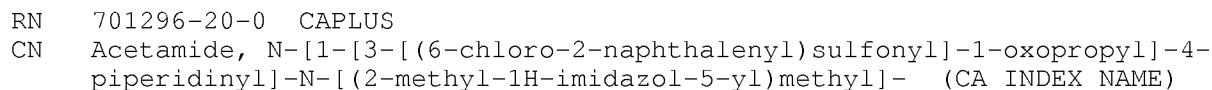
RN 701296-18-6 CAPLUS

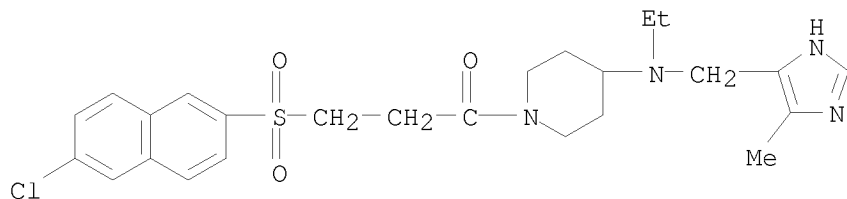
CN Methanesulfonamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)



RN 701296-19-7 CAPLUS

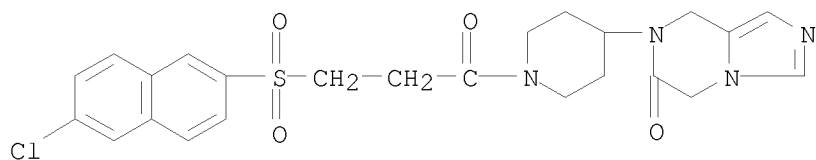
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl[(2-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)





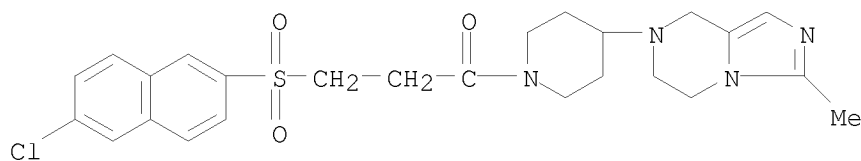
RN 701296-24-4 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro- (CA INDEX NAME)



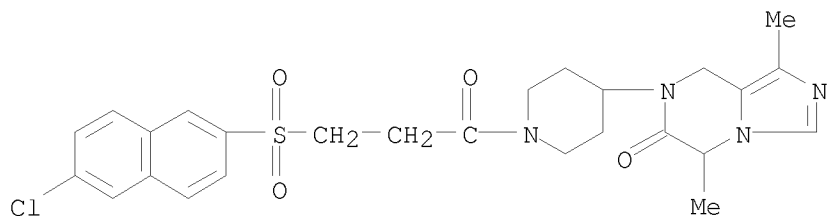
RN 701296-25-5 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-3-methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)



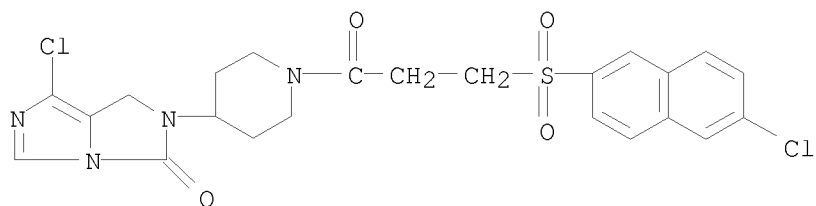
RN 701296-26-6 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-1,5-dimethyl- (CA INDEX NAME)



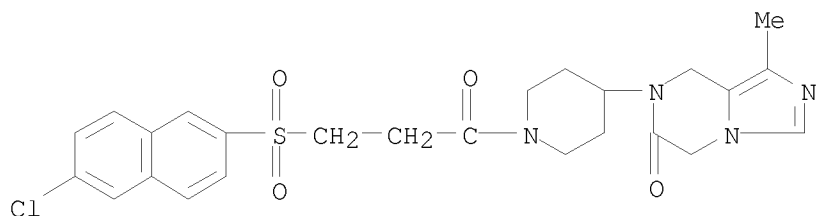
RN 701296-27-7 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 7-chloro-2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)



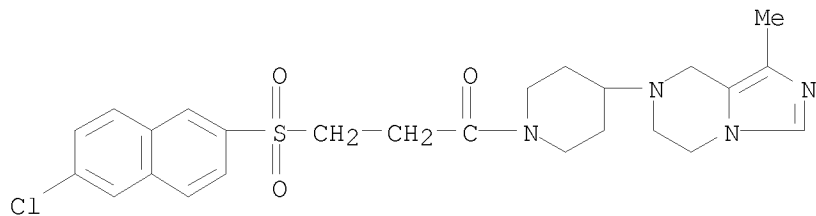
RN 701296-28-8 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-1-methyl- (CA INDEX NAME)



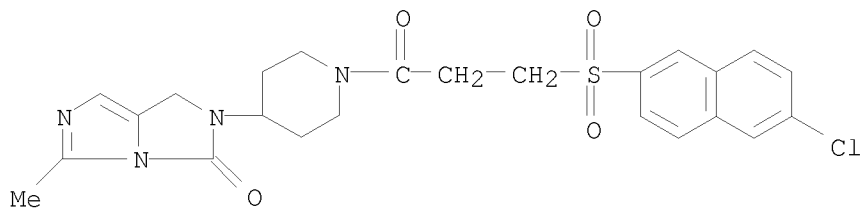
RN 701296-29-9 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-1-methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)



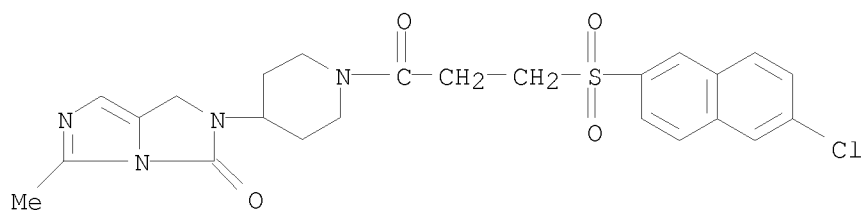
RN 701296-30-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701296-31-3 CAPLUS

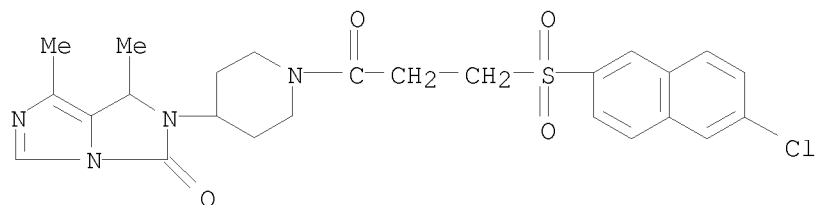
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

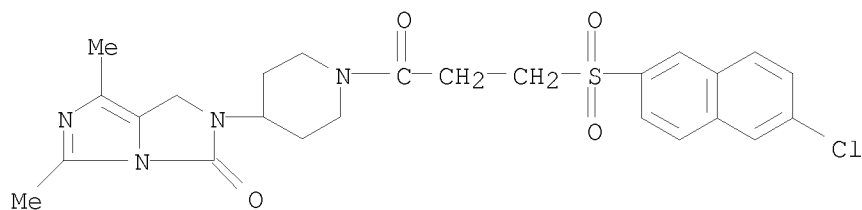
RN 701296-32-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-1,7-dimethyl- (CA INDEX NAME)



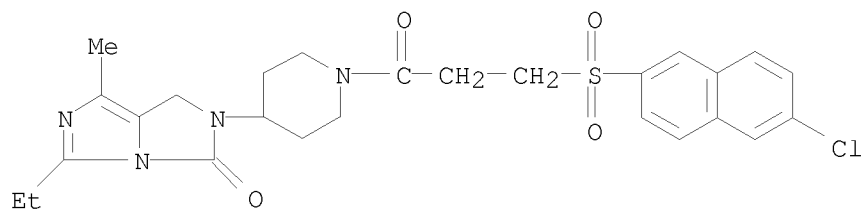
RN 701296-33-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)



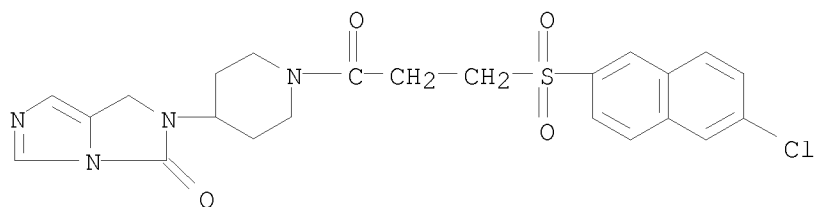
RN 701296-42-6 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-7-methyl- (CA INDEX NAME)



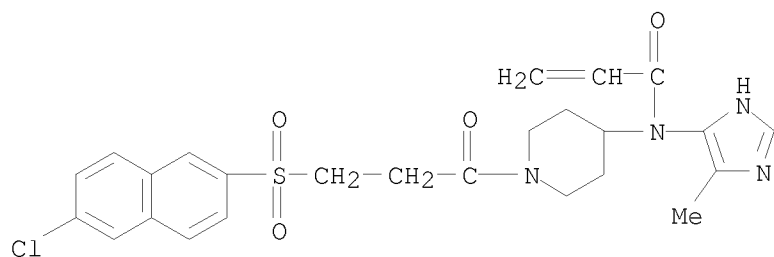
RN 701296-44-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)



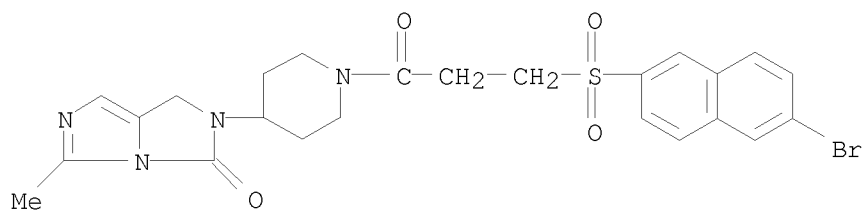
RN 701296-46-0 CAPLUS

CN 2-Propenamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(4-methyl-1H-imidazol-5-yl)- (CA INDEX NAME)



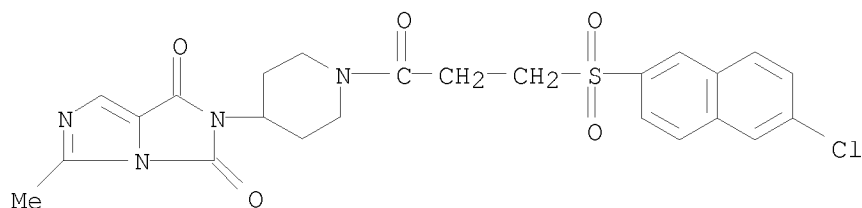
RN 701296-99-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



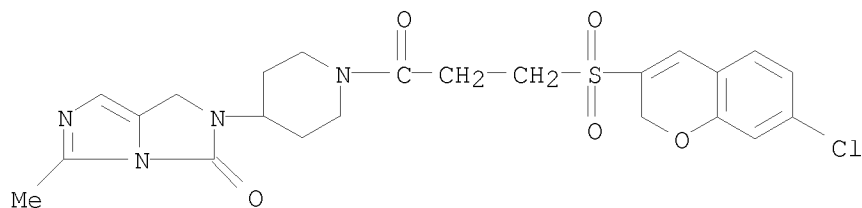
RN 701297-00-9 CAPLUS

CN 1H-Imidazo[1,5-c]imidazole-1,3(2H)-dione, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-methyl- (CA INDEX NAME)



RN 701297-01-0 CAPLUS

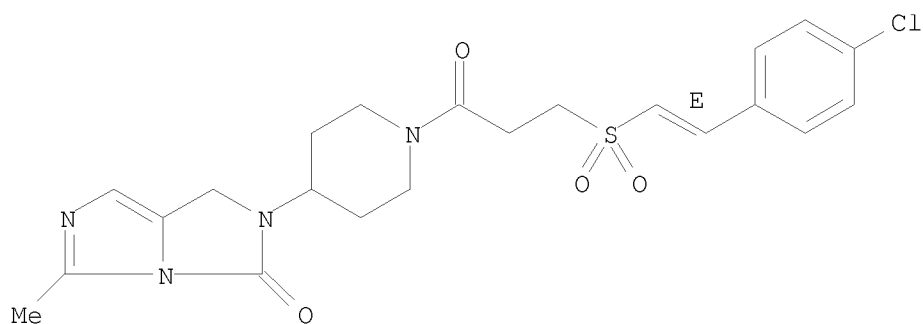
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-02-1 CAPLUS

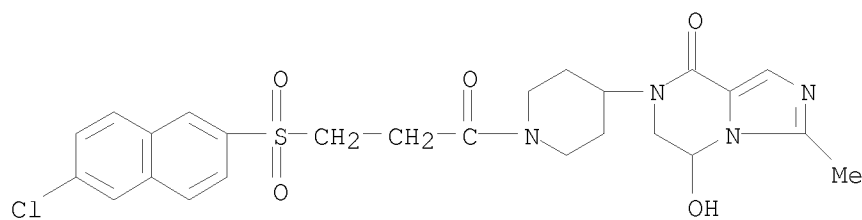
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.



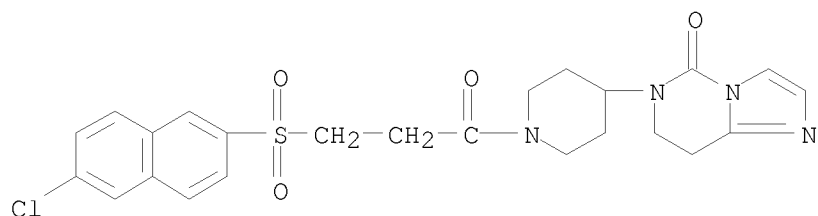
RN 701297-03-2 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-5-hydroxy-3-methyl- (CA INDEX NAME)

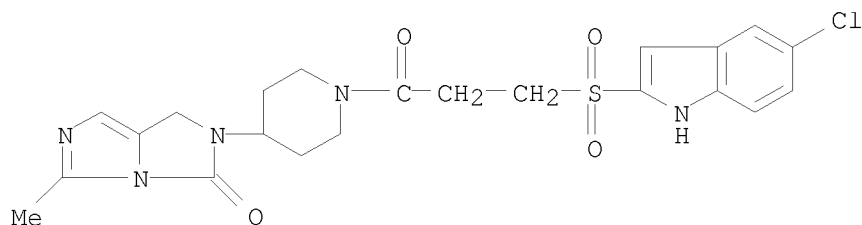


RN 701297-04-3 CAPLUS

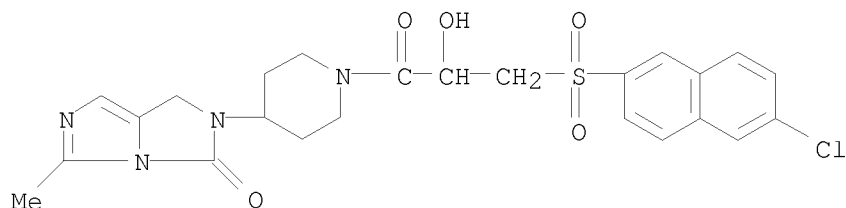
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro- (CA INDEX NAME)



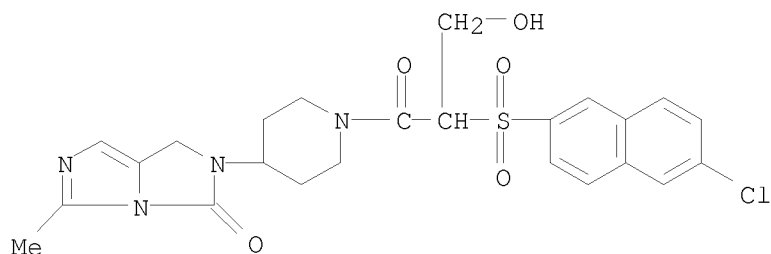
RN 701297-05-4 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-07-6 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

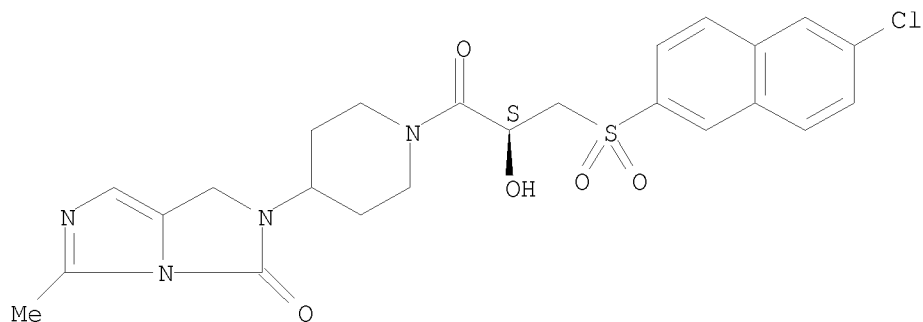


RN 701297-08-7 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-[(6-chloro-2-naphthalenyl)sulfonyl]-3-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

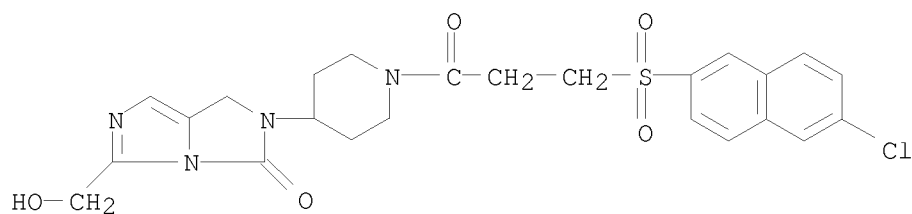


RN 701297-09-8 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

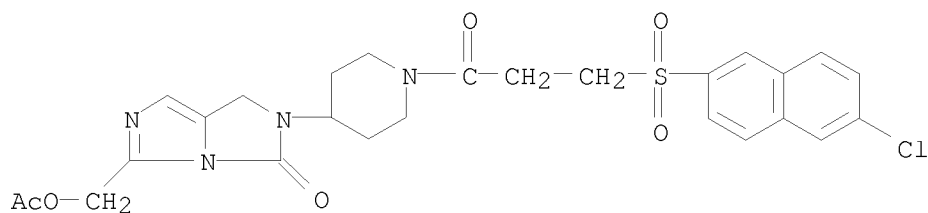
Absolute stereochemistry.



RN 701297-10-1 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)



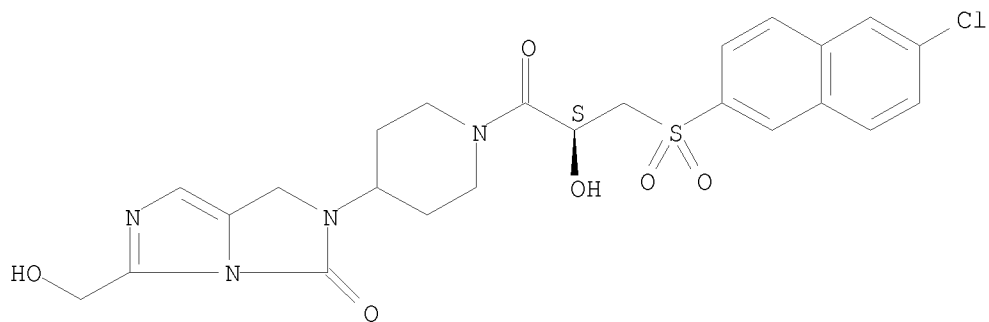
RN 701297-11-2 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(acetyloxy)methyl]-2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 701297-12-3 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

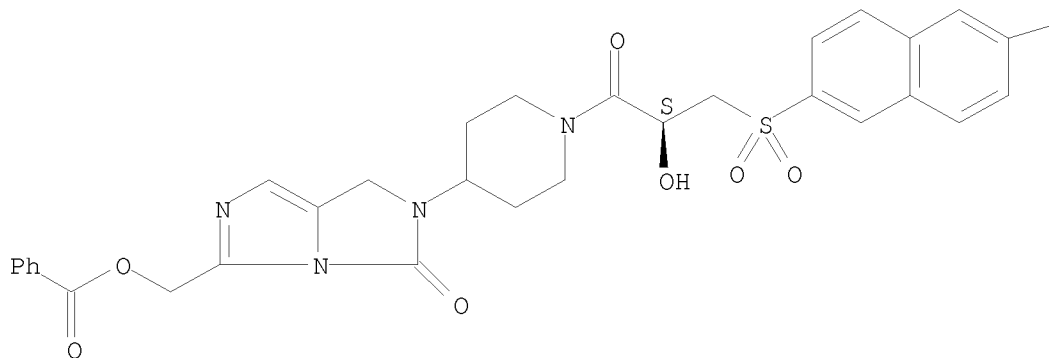


RN 701297-13-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(benzoyloxy)methyl]-2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

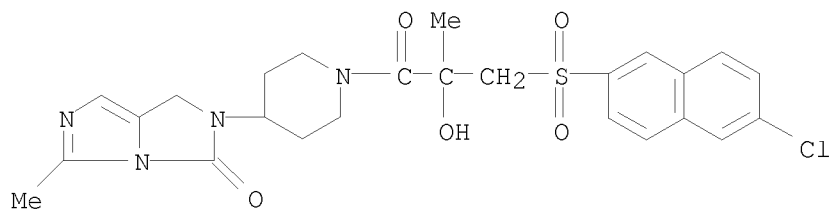


PAGE 1-B

Cl

RN 701297-15-6 CAPLUS

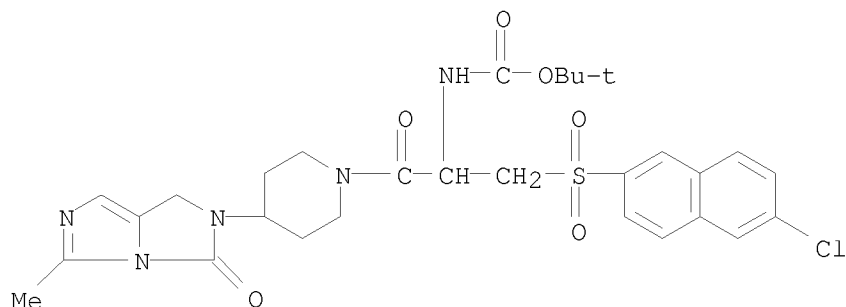
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-2-methyl-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

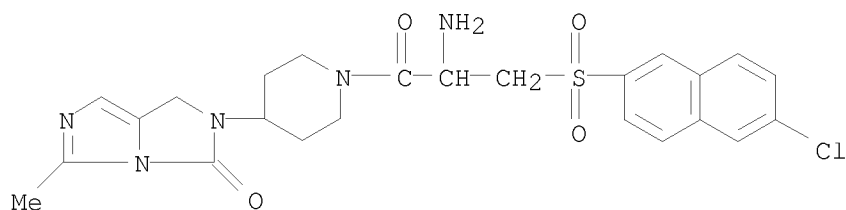
RN 701297-16-7 CAPLUS

CN Carbamic acid, [1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 701297-17-8 CAPLUS

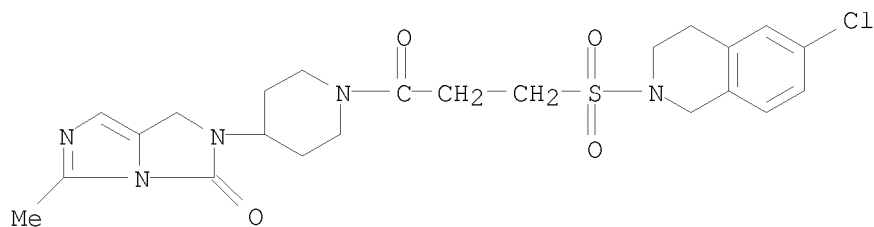
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 701297-18-9 CAPLUS

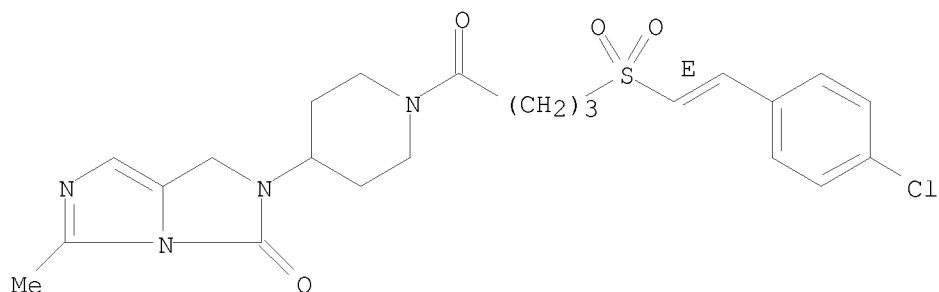
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, (CA INDEX NAME)



RN 701297-19-0 CAPLUS

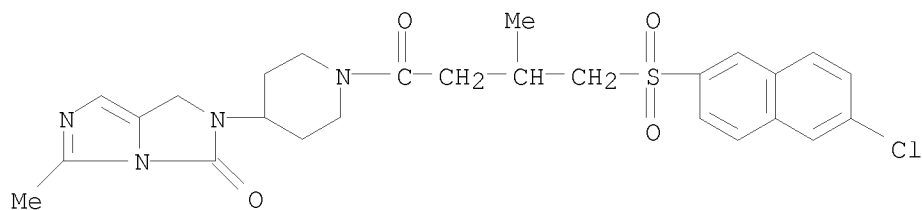
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, (CA INDEX NAME)

Double bond geometry as shown.



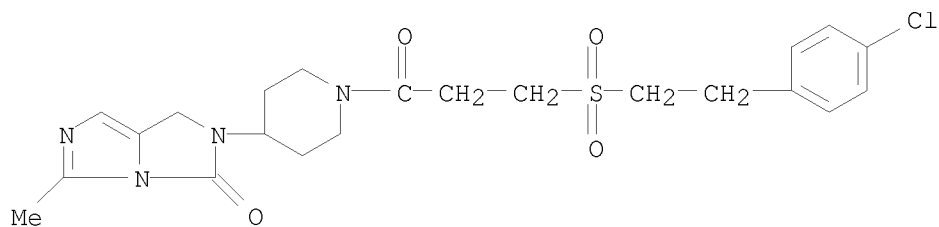
RN 701297-21-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-3-methyl-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-23-6 CAPLUS

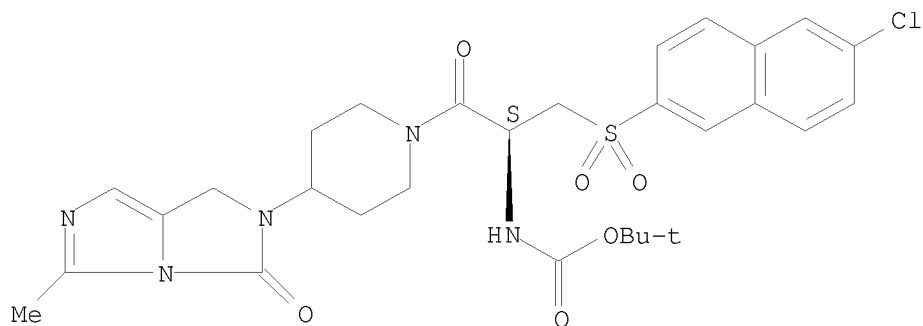
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[[2-(4-chlorophenyl)ethyl]sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-25-8 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

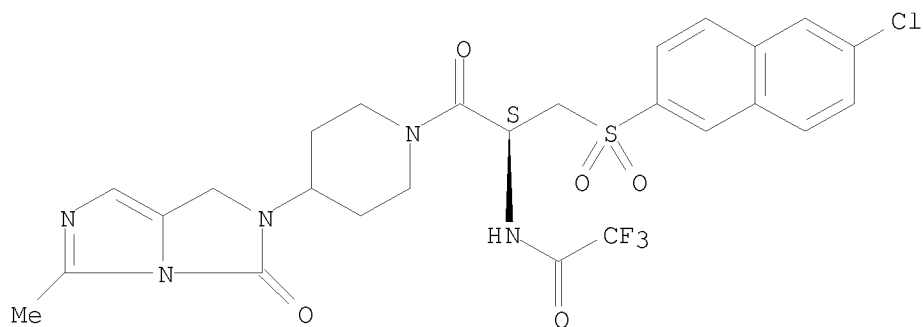
Absolute stereochemistry.



RN 701297-26-9 CAPLUS

CN Acetamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2,2,2-trifluoro- (CA INDEX NAME)

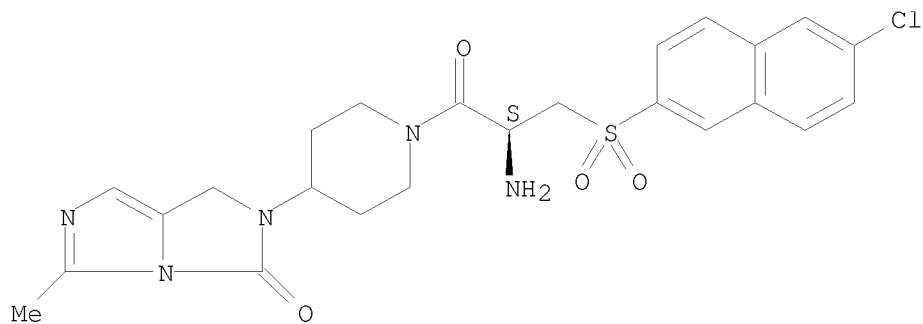
Absolute stereochemistry.



RN 701297-27-0 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



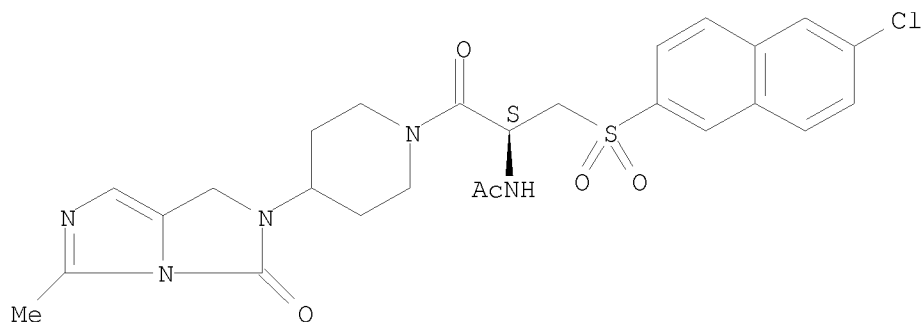
● 2 HCl

RN 701297-28-1 CAPLUS

CN Acetamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-

methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

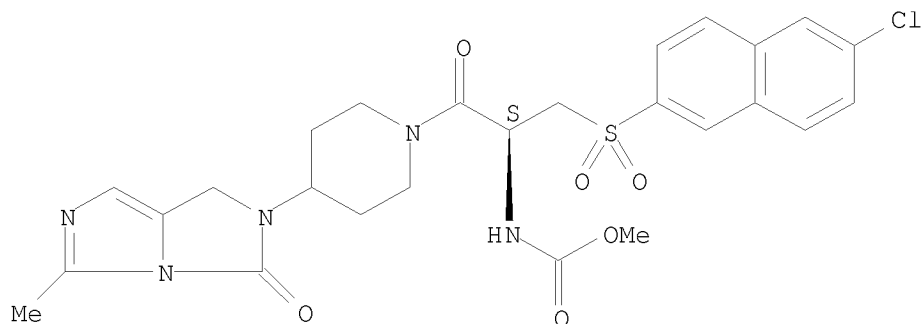
Absolute stereochemistry.



RN 701297-29-2 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

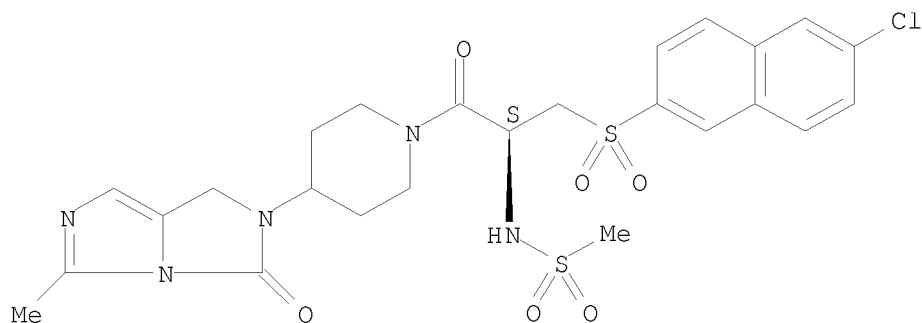
Absolute stereochemistry.



RN 701297-30-5 CAPLUS

CN Methanesulfonamide, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

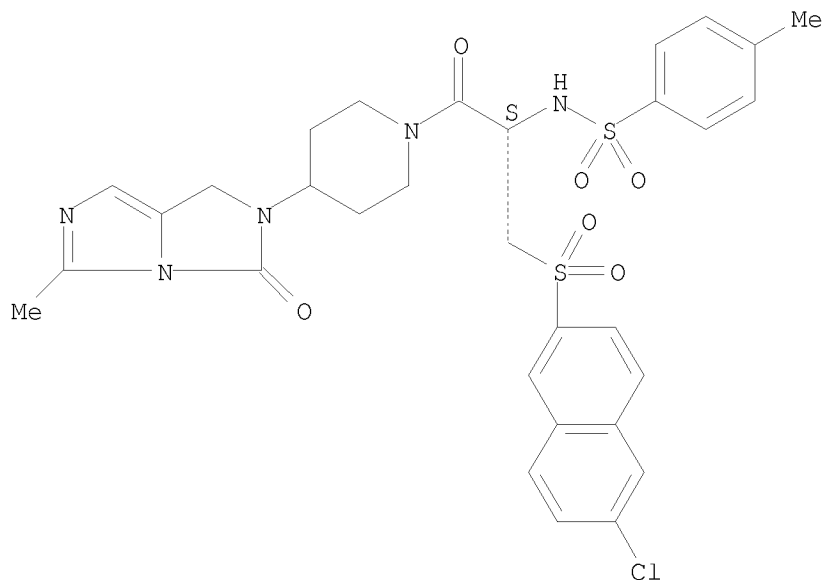


RN 701297-31-6 CAPLUS

CN Benzenesulfonamide, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

oxoethyl]-4-methyl- (CA INDEX NAME)

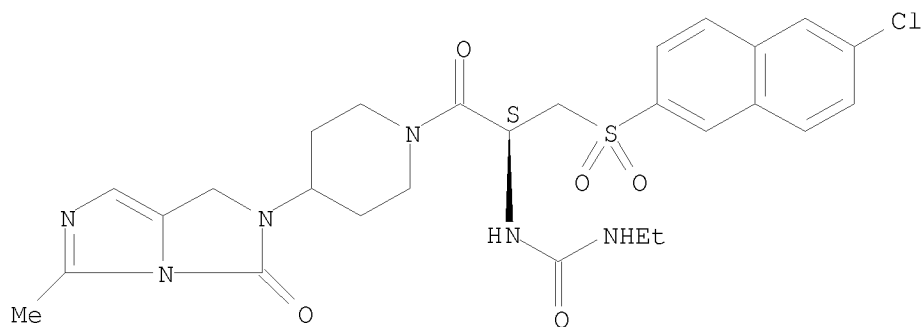
Absolute stereochemistry.



RN 701297-32-7 CAPLUS

CN Urea, N-[(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-N'-ethyl- (CA INDEX NAME)

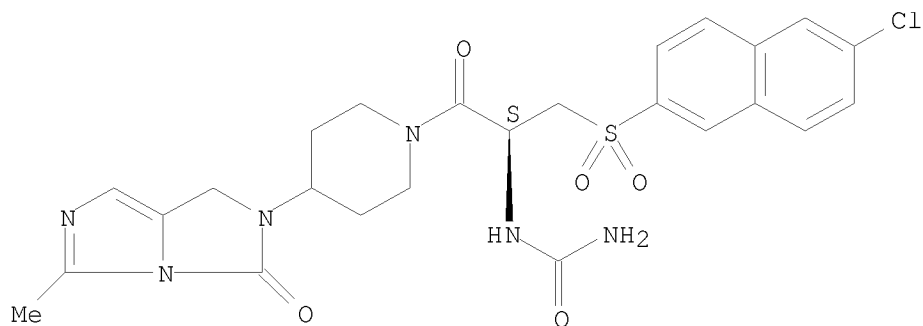
Absolute stereochemistry.



RN 701297-33-8 CAPLUS

CN Urea, N-[(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

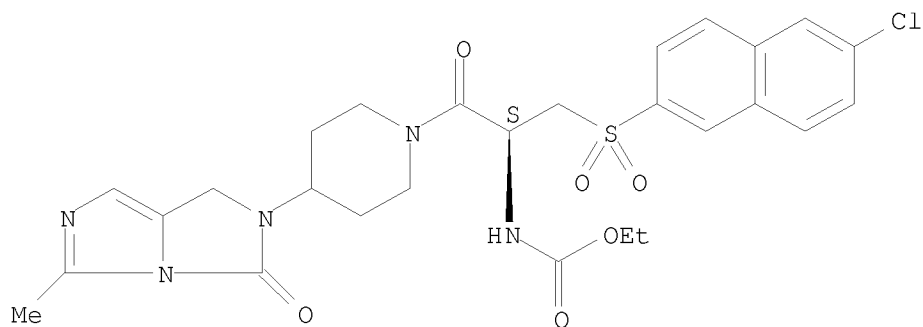
Absolute stereochemistry.



RN 701297-34-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)

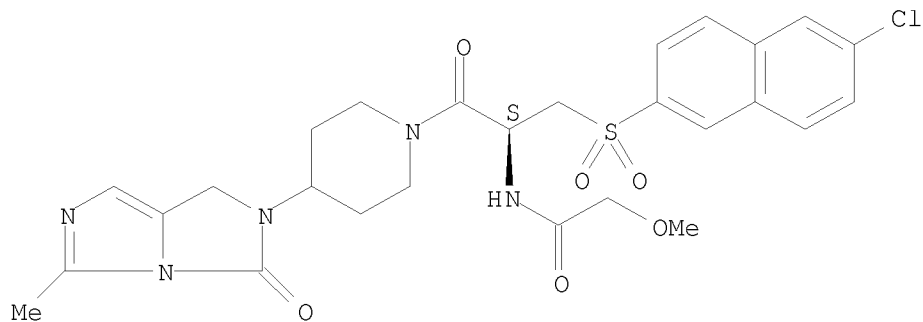
Absolute stereochemistry.



RN 701297-35-0 CAPLUS

CN Acetamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2-methoxy- (CA INDEX NAME)

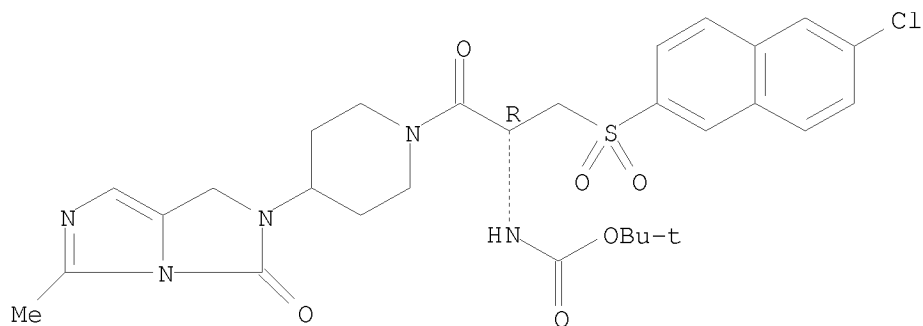
Absolute stereochemistry.



RN 701297-36-1 CAPLUS

CN Carbamic acid, [(1R)-1-[[[6-chloro-2-naphthalenyl]sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

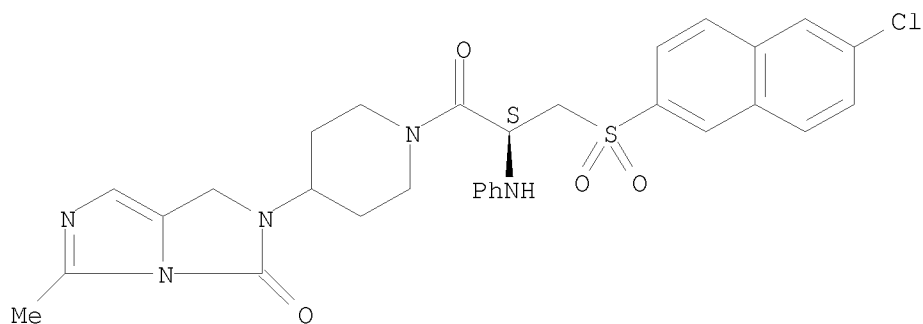
Absolute stereochemistry.



RN 701297-37-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(phenylamino)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

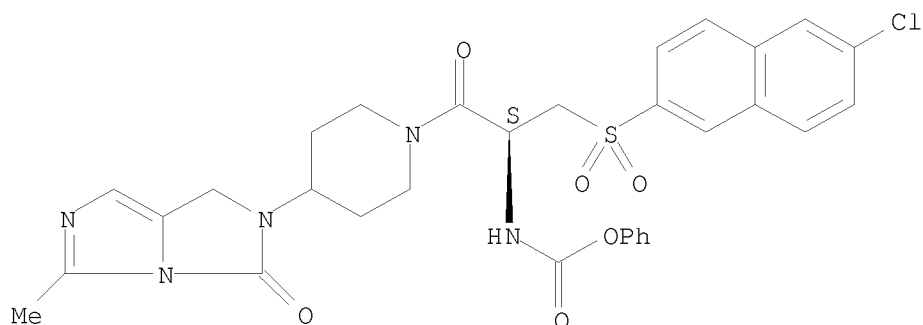
Absolute stereochemistry.



RN 701297-38-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, phenyl ester (9CI) (CA INDEX NAME)

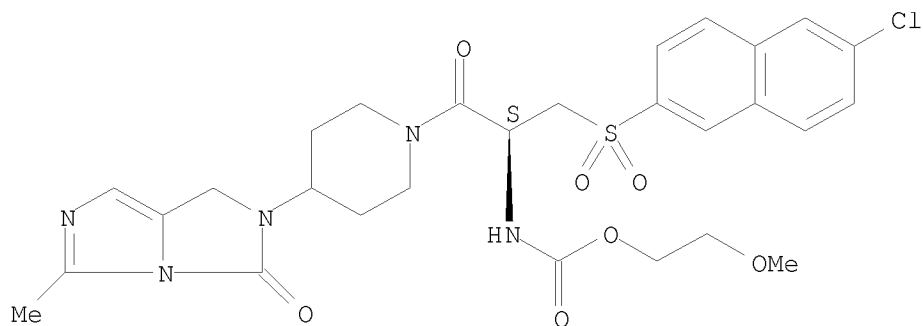
Absolute stereochemistry.



RN 701297-40-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

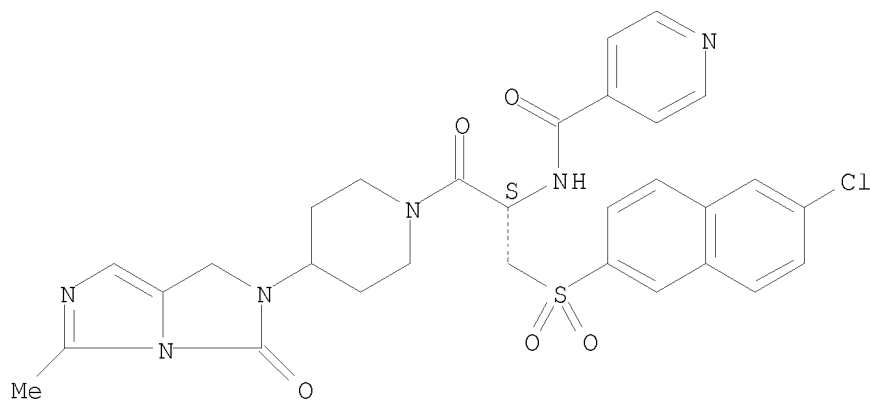
Absolute stereochemistry.



RN 701297-41-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

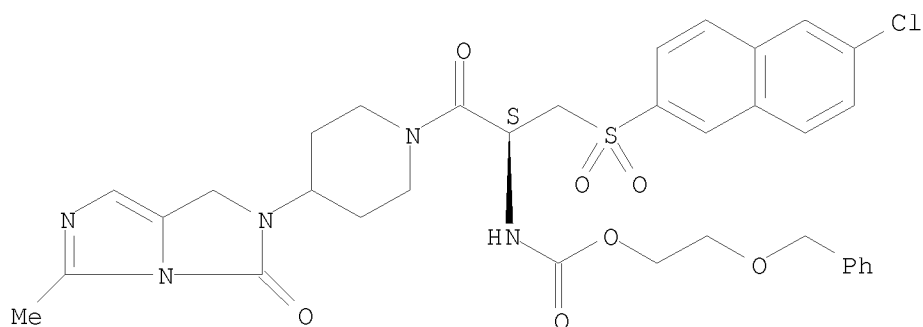
Absolute stereochemistry.



RN 701297-42-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-(phenylmethoxy)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

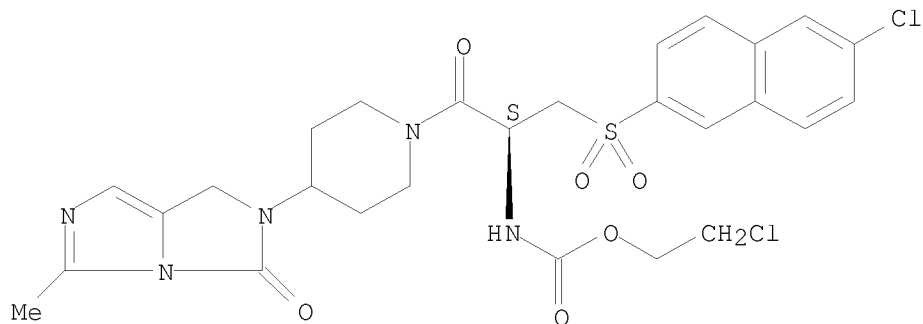


RN 701297-43-0 CAPLUS

CN Carbamic acid, [(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

oxoethyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

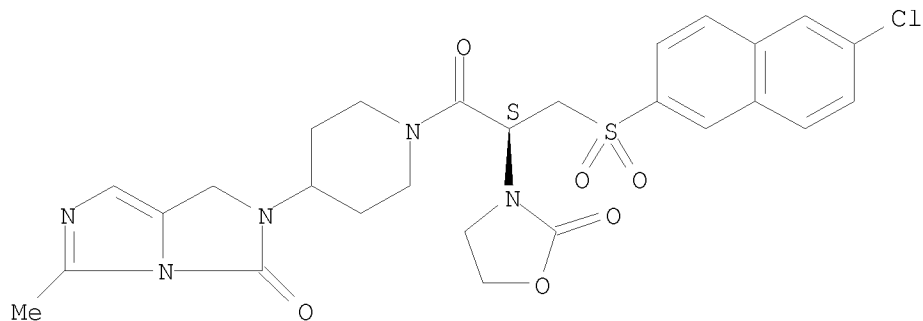
Absolute stereochemistry.



RN 701297-44-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(2-oxo-3-oxazolidinyl)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

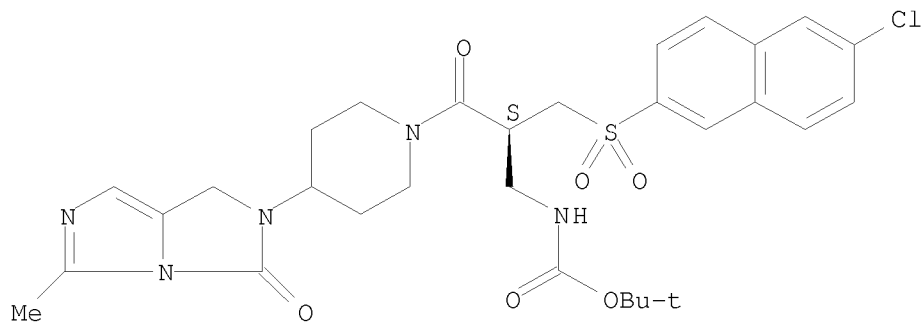
Absolute stereochemistry.



RN 701297-45-2 CAPLUS

CN Carbamic acid, [(2S)-2-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

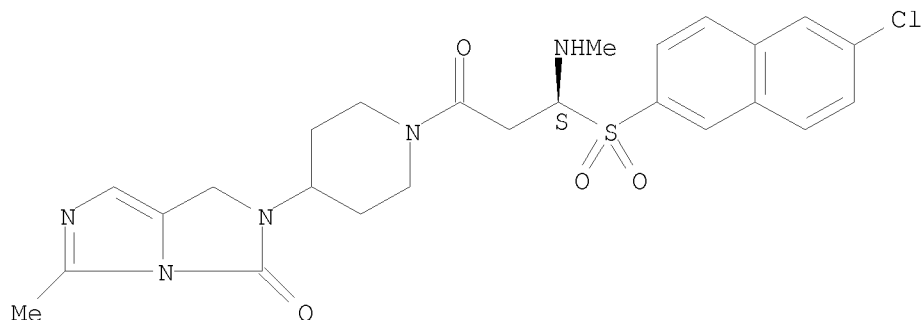
Absolute stereochemistry.



RN 701297-46-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-3-(methylamino)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



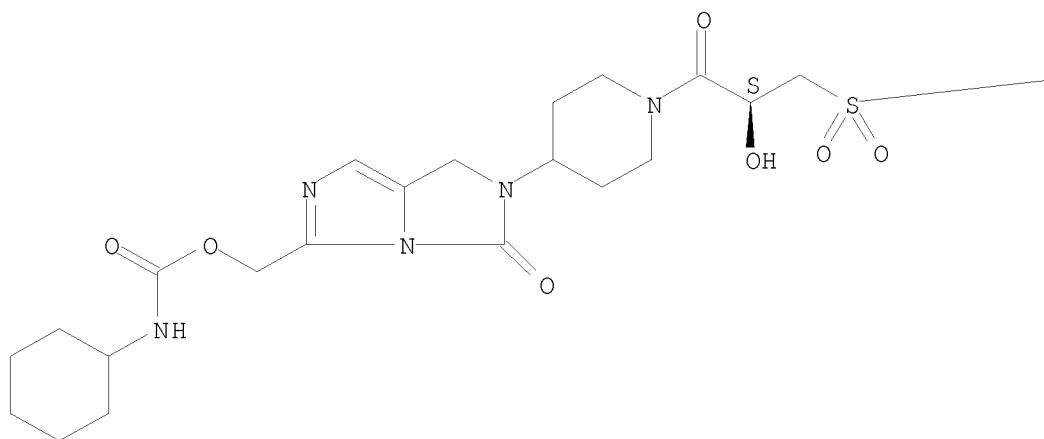
● 2 HCl

RN 701297-47-4 CAPLUS

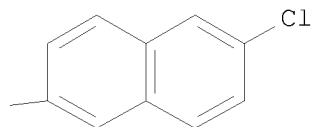
CN Carbamic acid, cyclohexyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

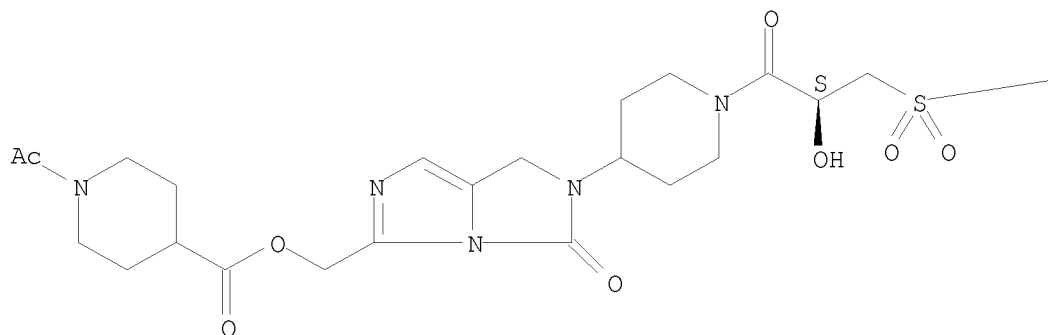


RN 701297-48-5 CAPLUS

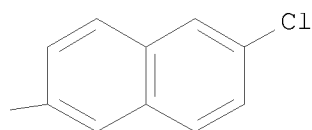
CN 4-Piperidinecarboxylic acid, 1-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



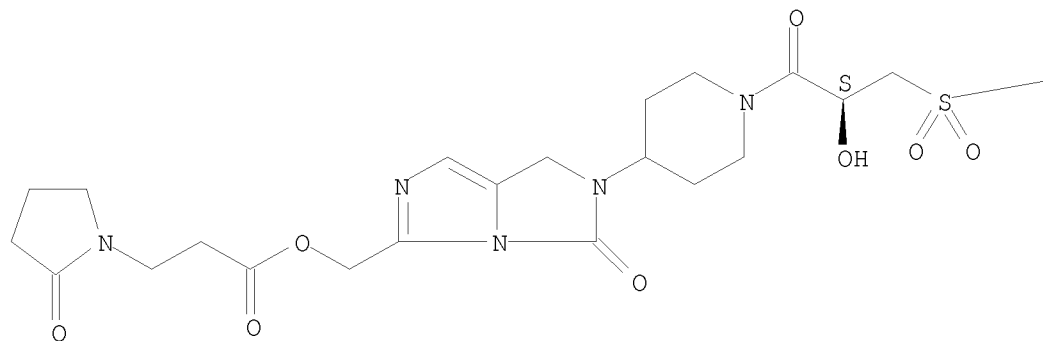
PAGE 1-B



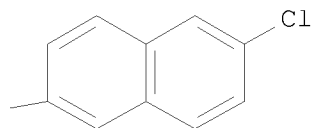
RN 701297-49-6 CAPLUS
CN 1-Pyrrolidinepropanoic acid, 2-oxo-,
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

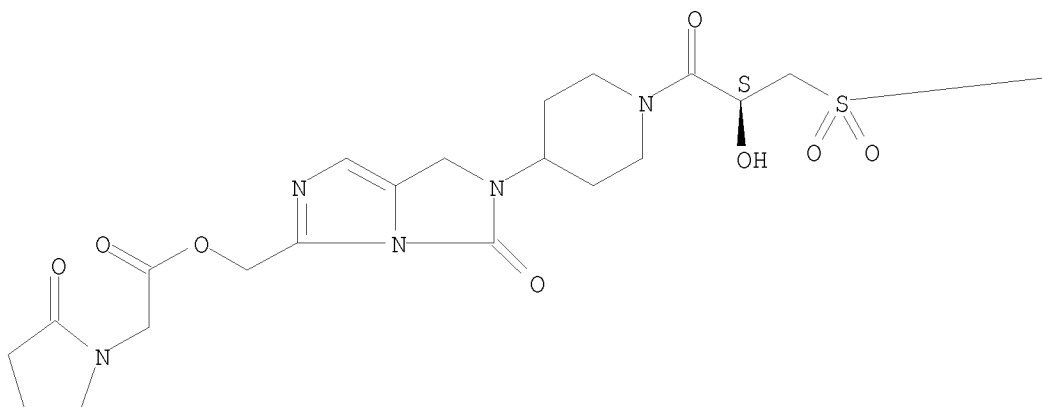


RN 701297-50-9 CAPLUS
CN 1-Pyrrolidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-

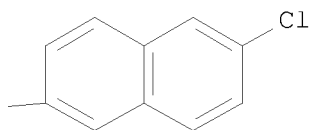
naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

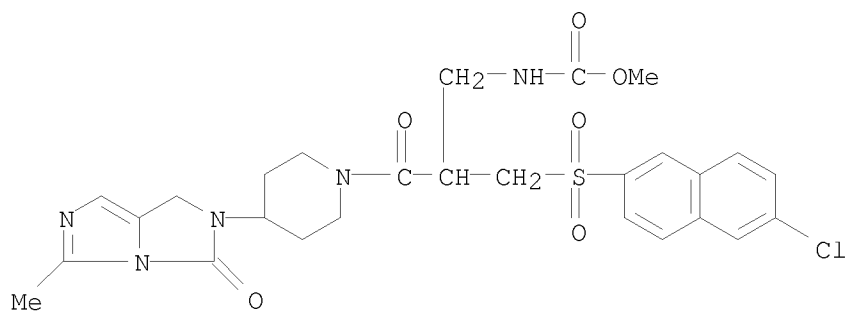


PAGE 1-B



RN 701297-51-0 CAPLUS

CN Carbamic acid, [2-[[[6-chloro-2-naphthalenyl)sulfonyl)methyl]-3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

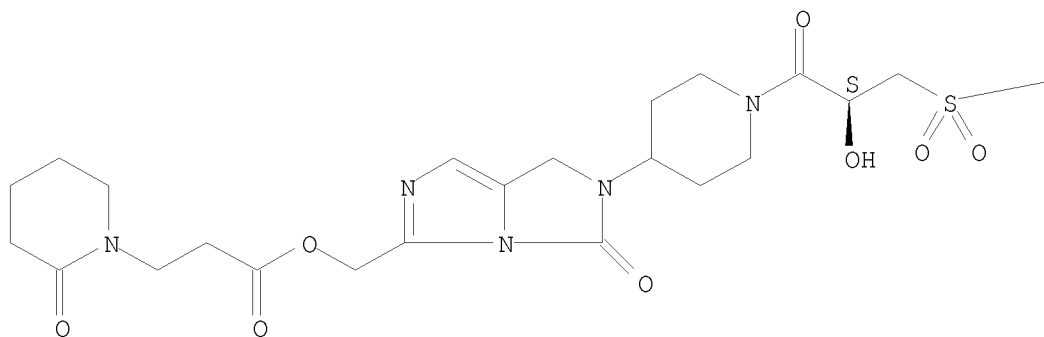


RN 701297-52-1 CAPLUS

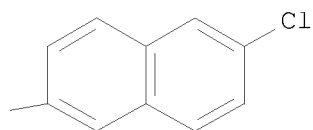
CN 1-Piperidinepropanoic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

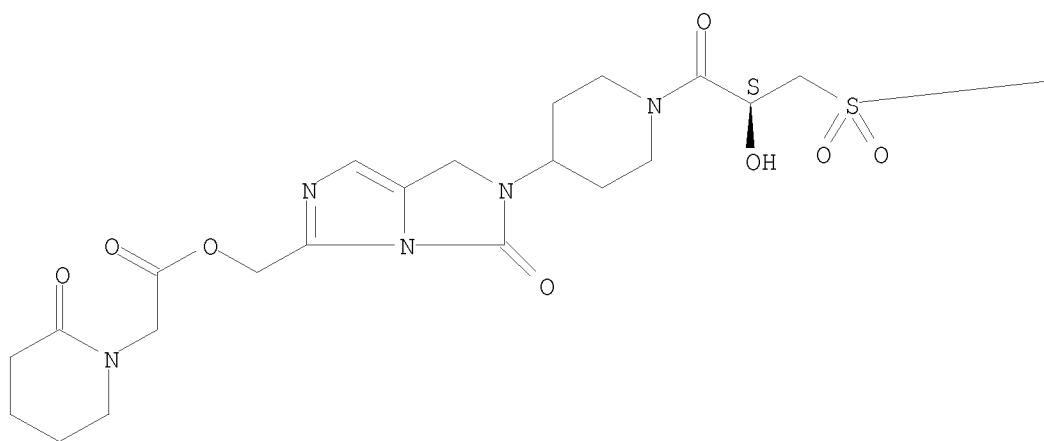


RN 701297-53-2 CAPLUS

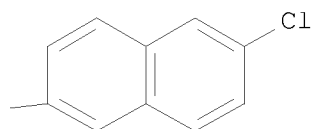
CN 1-Piperidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

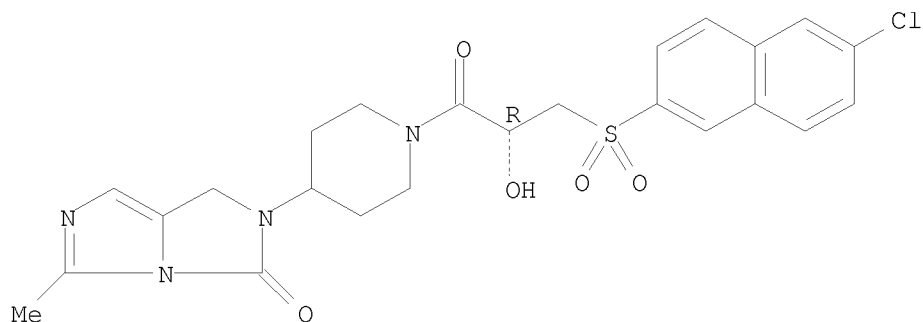


PAGE 1-B



RN 701297-54-3 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2R)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

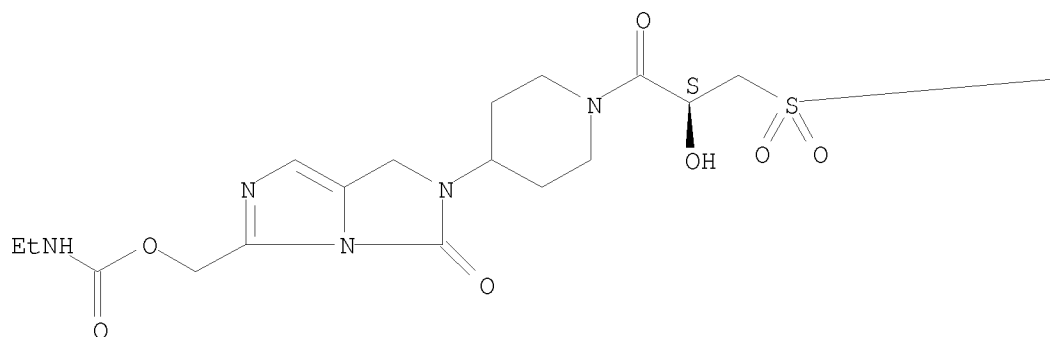
Absolute stereochemistry.



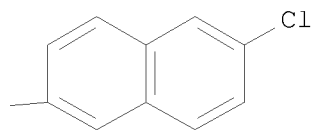
RN 701297-55-4 CAPLUS
 CN Carbamic acid, ethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

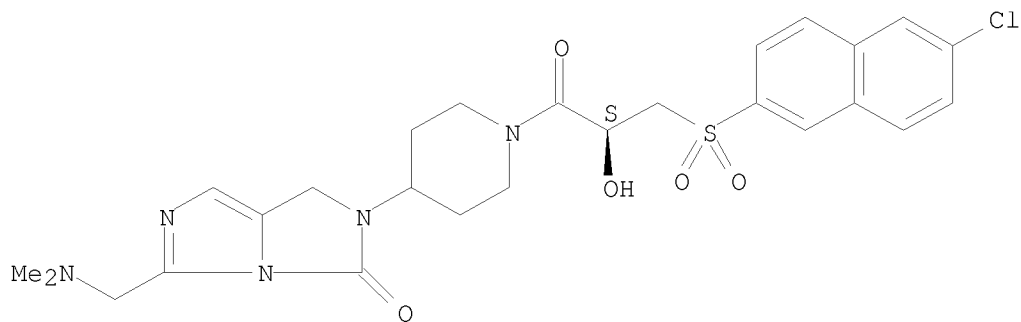


PAGE 1-B



RN 701297-56-5 CAPLUS
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-[(dimethylamino)methyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

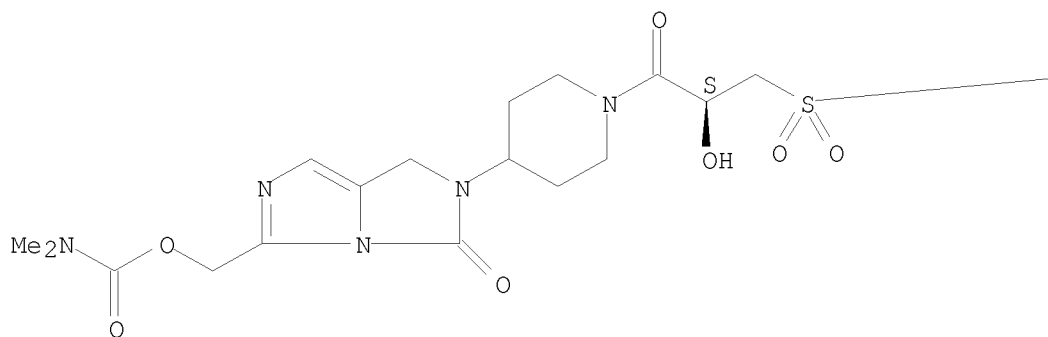


RN 701297-57-6 CAPLUS

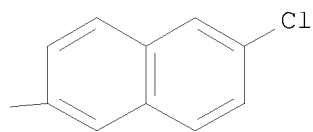
CN Carbamic acid, dimethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

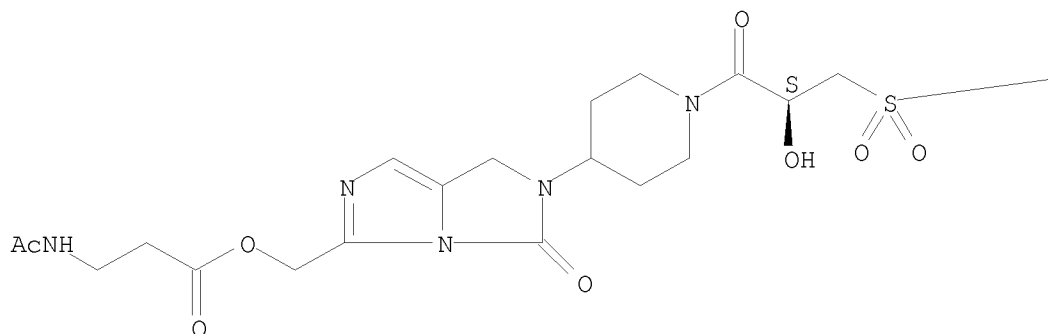


RN 701297-58-7 CAPLUS

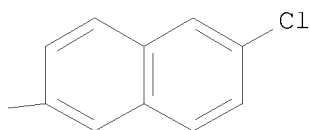
CN β -Alanine, N-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

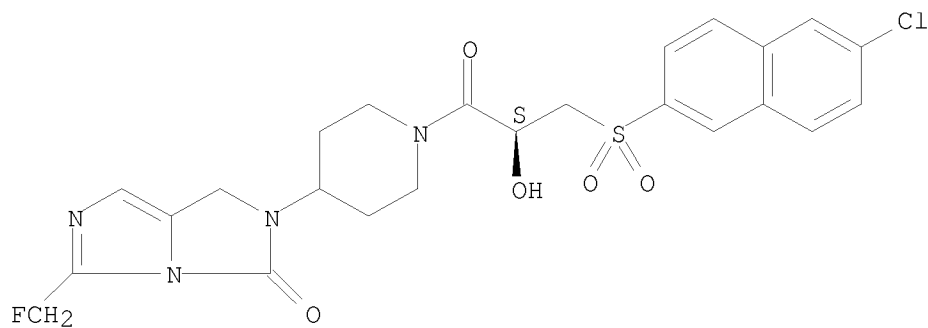


PAGE 1-B



RN 701297-59-8 CAPLUS
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(fluoromethyl)-1,2-dihydro- (CA INDEX NAME)

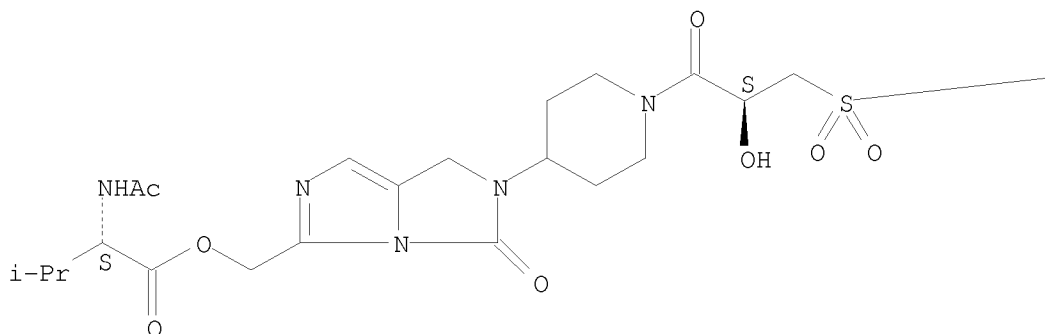
Absolute stereochemistry.



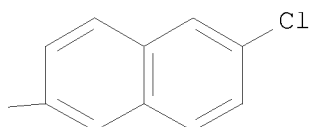
RN 701297-60-1 CAPLUS
CN L-Valine, N-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

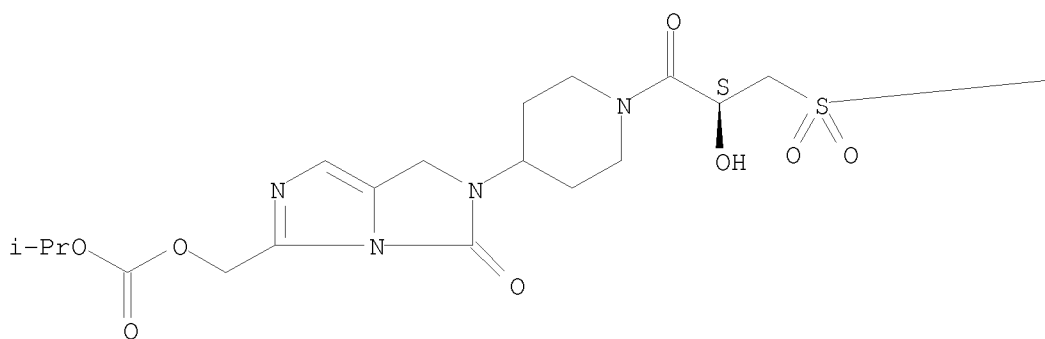


RN 701297-61-2 CAPLUS

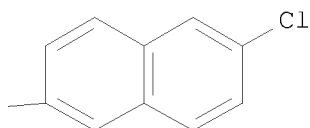
CN Carbonic acid, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

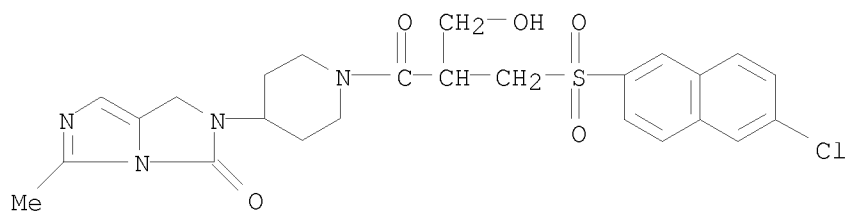


PAGE 1-B



RN 701297-62-3 CAPLUS

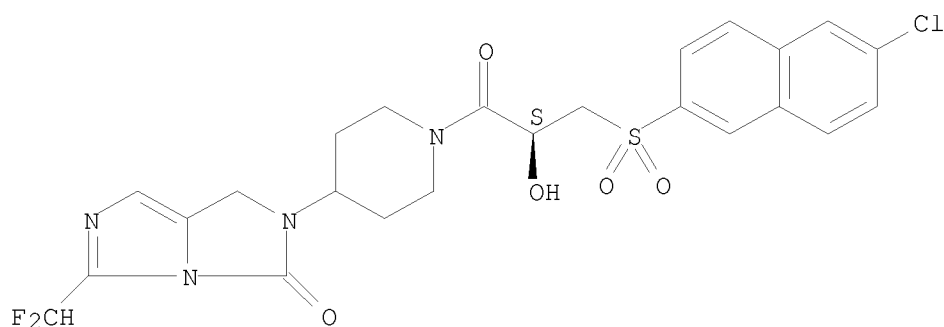
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-(hydroxymethyl)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-63-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(difluoromethyl)-1,2-dihydro- (CA INDEX NAME)

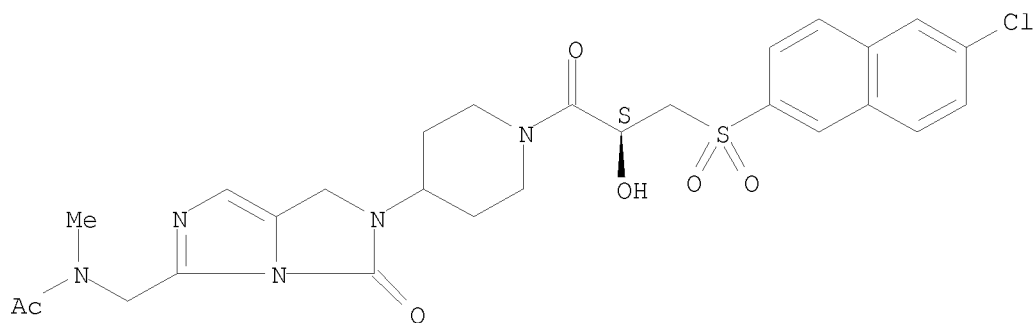
Absolute stereochemistry.



RN 701297-64-5 CAPLUS

CN Acetamide, N-[[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)

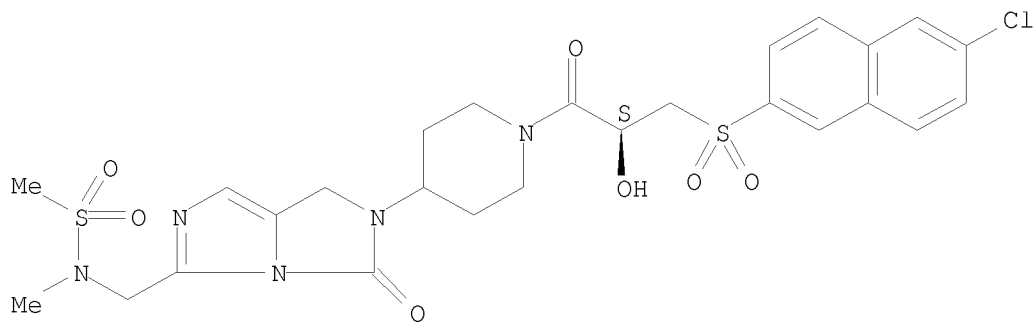
Absolute stereochemistry.



RN 701297-65-6 CAPLUS

CN Methanesulfonamide, N-[[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

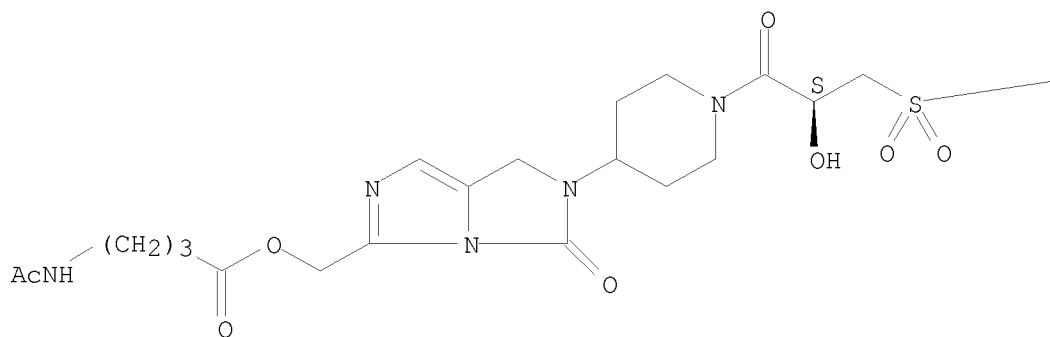


RN 701297-66-7 CAPLUS

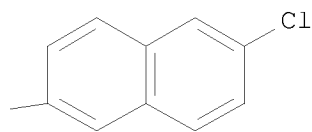
CN Butanoic acid, 4-(acetylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

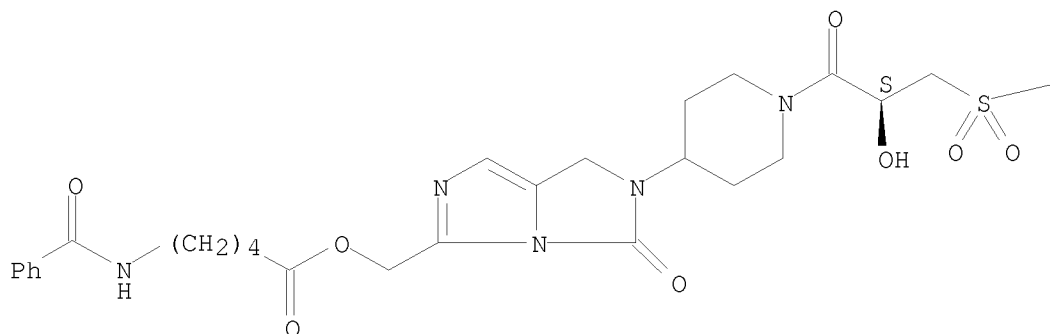


RN 701297-67-8 CAPLUS

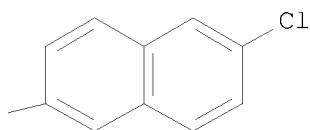
CN Pentanoic acid, 5-(benzoylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

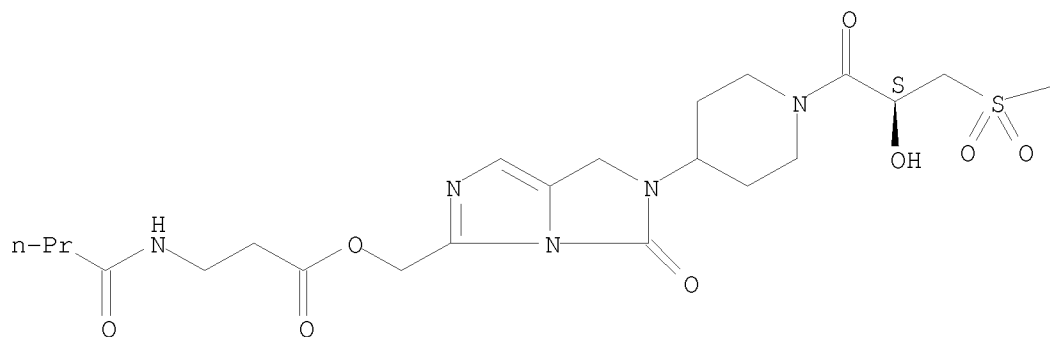


RN 701297-68-9 CAPLUS

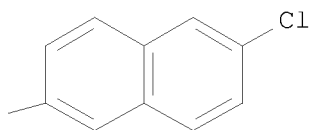
CN β -Alanine, N-(1-oxobutyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



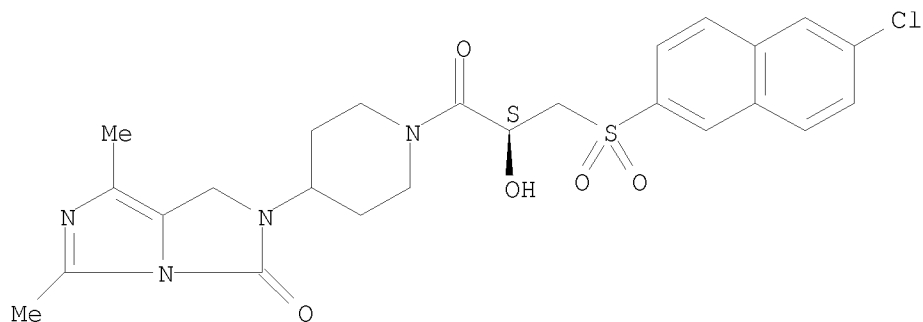
PAGE 1-B



RN 701297-71-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)

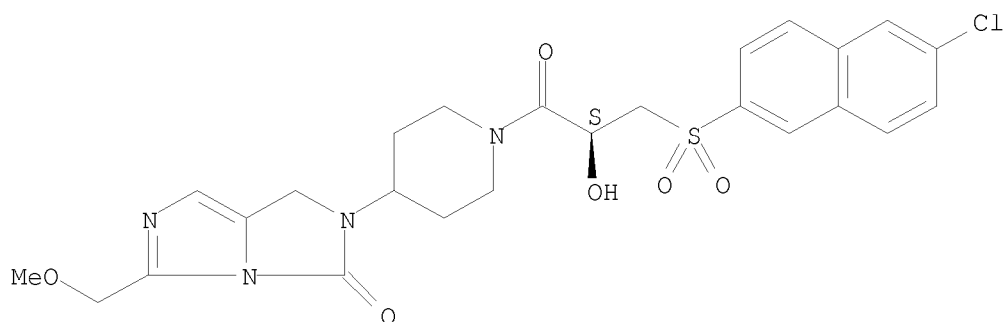
Absolute stereochemistry.



RN 701297-72-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(methoxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

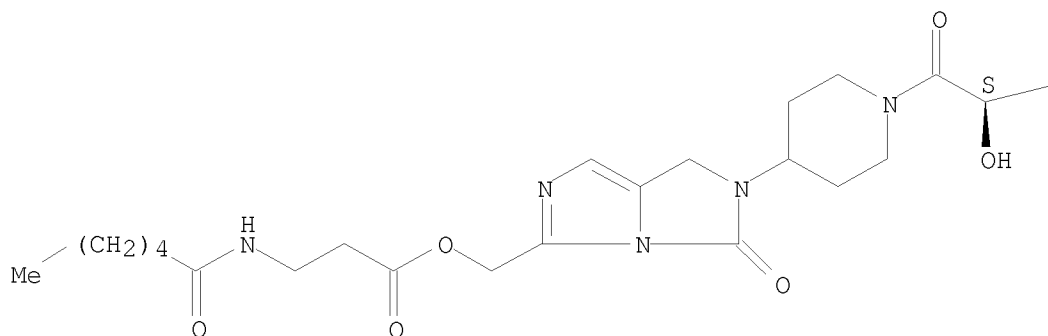


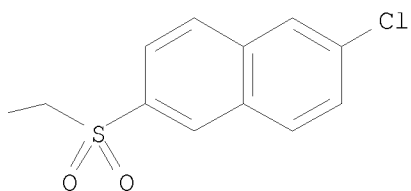
RN 701297-73-6 CAPLUS

CN β -Alanine, N-(1-oxohexyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

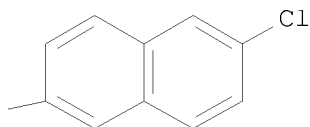
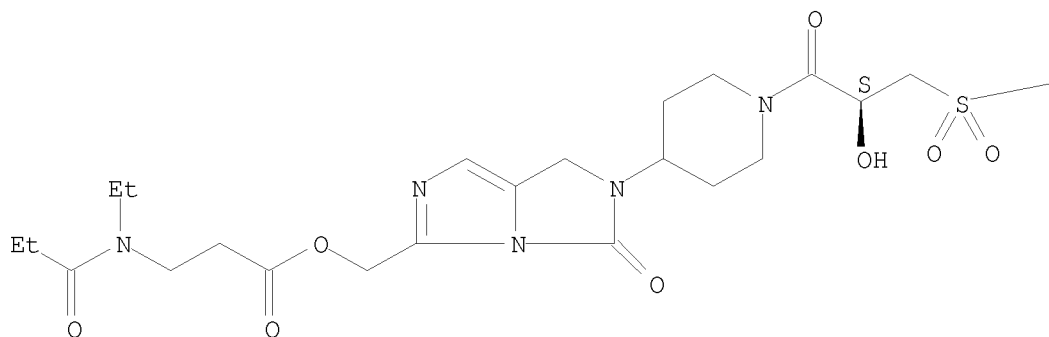




RN 701297-74-7 CAPLUS

CN β -Alanine, N-ethyl-N-(1-oxopropyl)-,
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

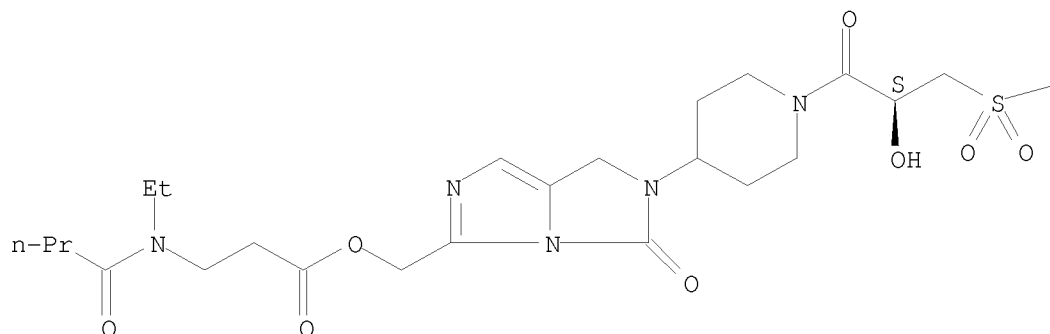


RN 701297-75-8 CAPLUS

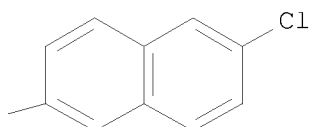
CN β -Alanine, N-ethyl-N-(1-oxobutyl)-,
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



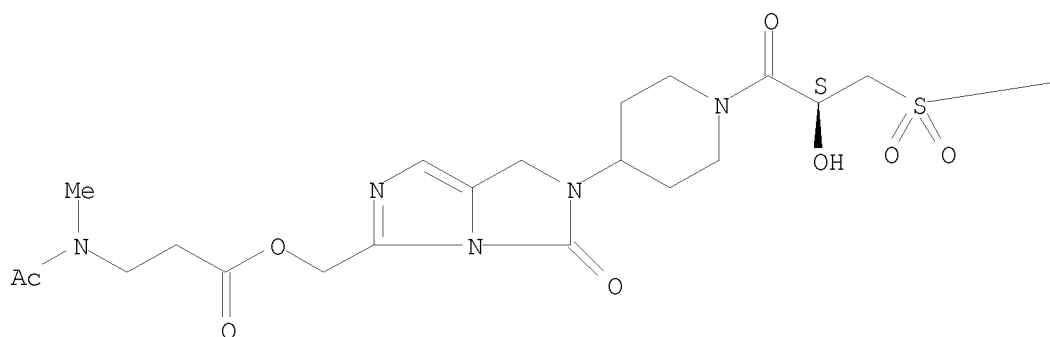
PAGE 1-B



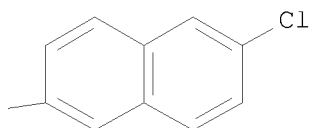
RN 701297-76-9 CAPLUS
CN β -Alanine, N-acetyl-N-methyl-,
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

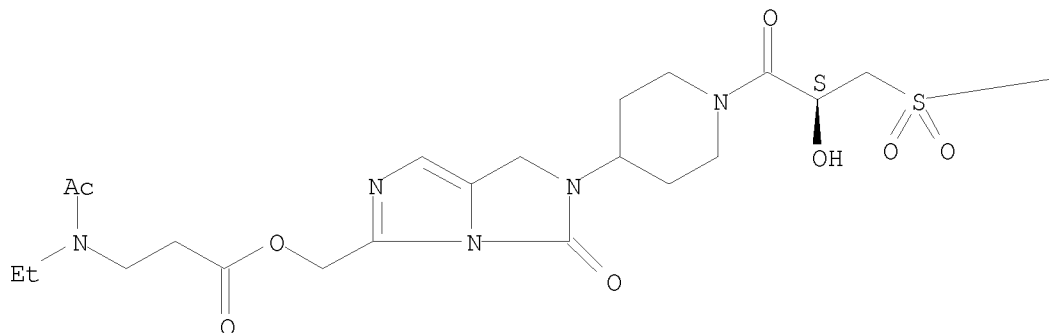


RN 701297-77-0 CAPLUS
CN β -Alanine, N-acetyl-N-ethyl-,
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester
(CA INDEX NAME)

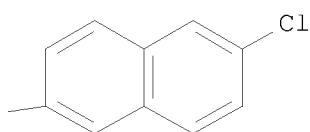
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

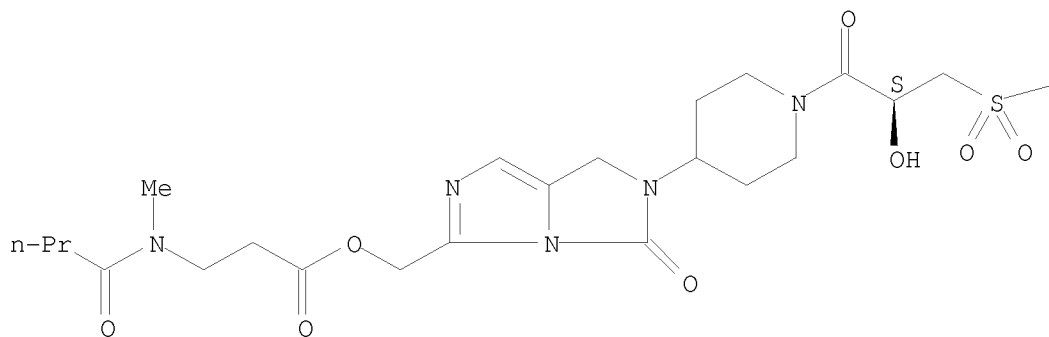


RN 701297-78-1 CAPLUS

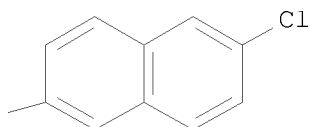
CN β -Alanine, N-methyl-N-(1-oxobutyl)-,
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



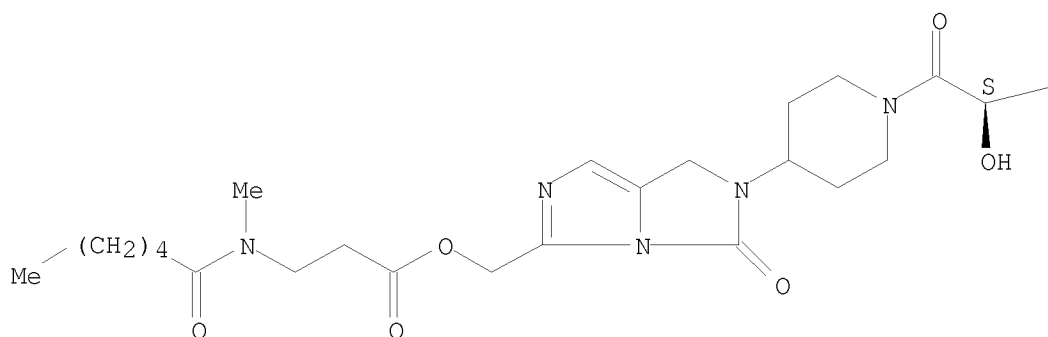
PAGE 1-B



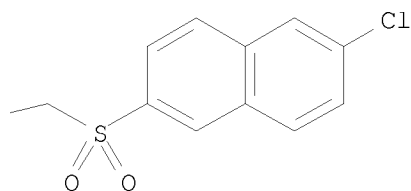
RN 701297-79-2 CAPLUS
 CN β -Alanine, N-methyl-N-(1-oxohexyl)-,
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



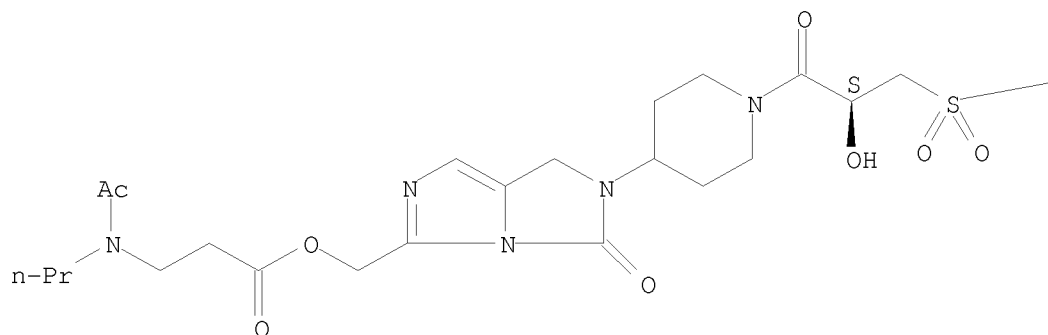
PAGE 1-B

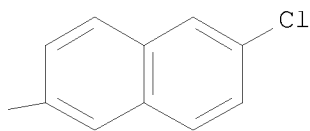


RN 701297-80-5 CAPLUS
 CN β -Alanine, N-acetyl-N-propyl-,
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

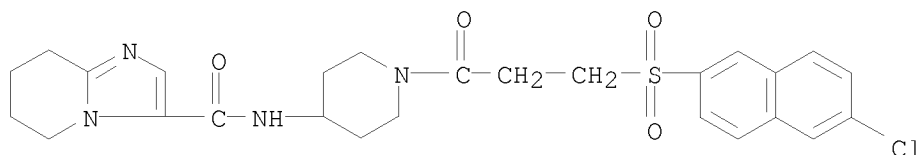
PAGE 1-A





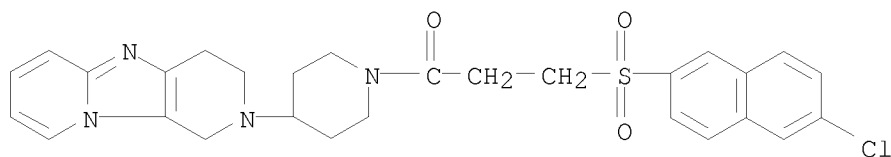
RN 701297-89-4 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxamide,
N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-
5,6,7,8-tetrahydro- (CA INDEX NAME)



RN 701298-05-7 CAPLUS

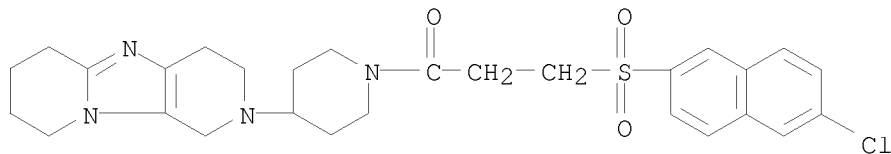
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4-
dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 701298-08-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4,6,7,8,9-
hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,
hydrochloride (1:2) (CA INDEX NAME)

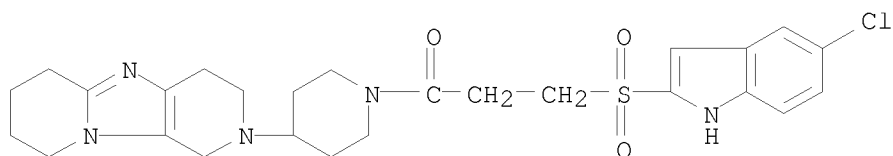


● 2 HCl

RN 701298-10-4 CAPLUS

CN 1-Propanone, 3-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(3,4,6,7,8,9-
hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,

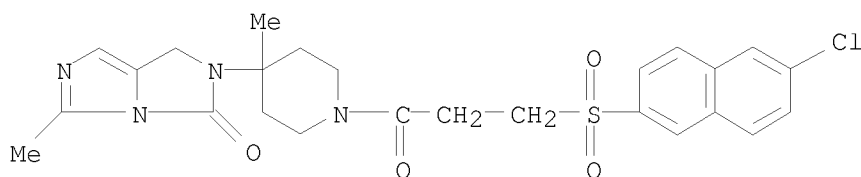
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

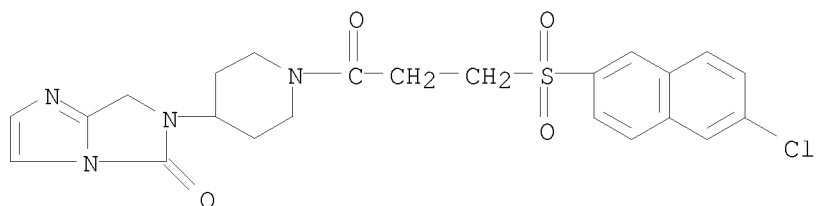
RN 701298-11-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701911-96-8 CAPLUS

CN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro- (CA INDEX NAME)



IT 701298-60-4P 701298-62-6P 701298-63-7P

701298-64-8P 701298-82-0P 701299-44-7P

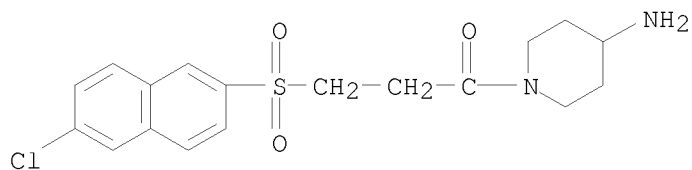
701299-62-9P 701299-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

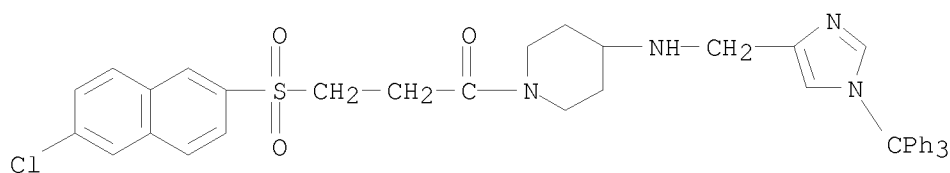
RN 701298-60-4 CAPLUS

CN 1-Propanone, 1-(4-amino-1-piperidinyl)-3-[(6-chloro-2-naphthalenyl)sulfonyl]- (CA INDEX NAME)



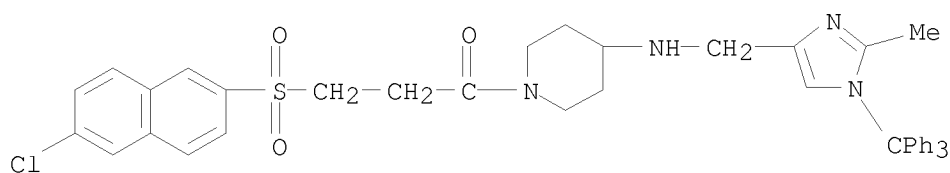
RN 701298-62-6 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



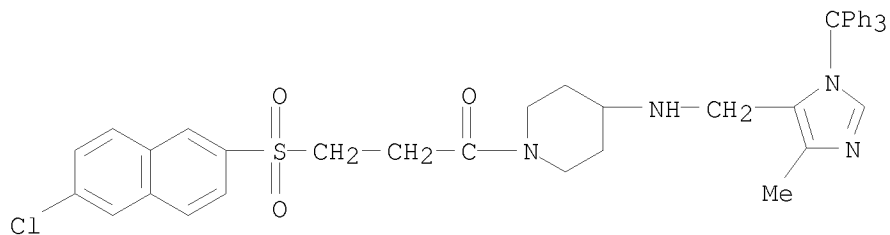
RN 701298-63-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[2-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



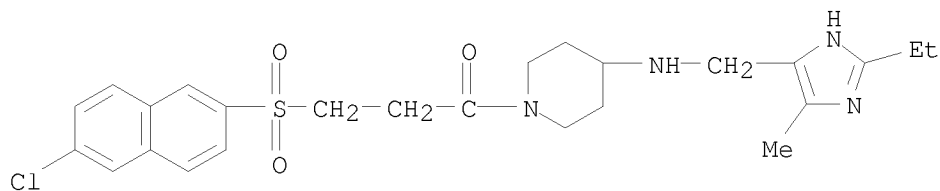
RN 701298-64-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[4-methyl-1-(triphenylmethyl)-1H-imidazol-5-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



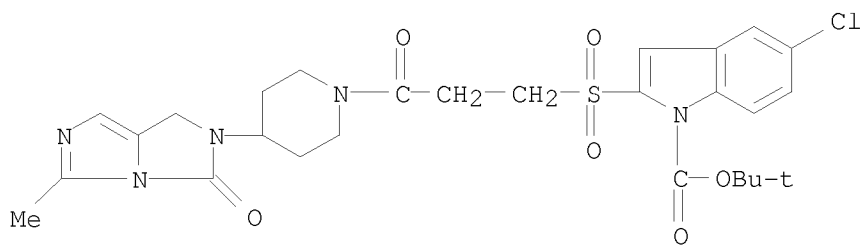
RN 701298-82-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[2-ethyl-4-methyl-1H-imidazol-5-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



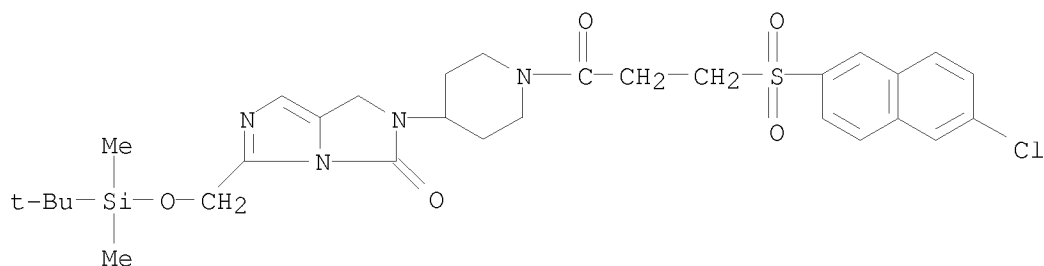
RN 701299-44-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidiny]-3-oxopropyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 701299-62-9 CAPLUS

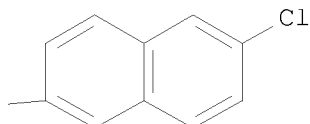
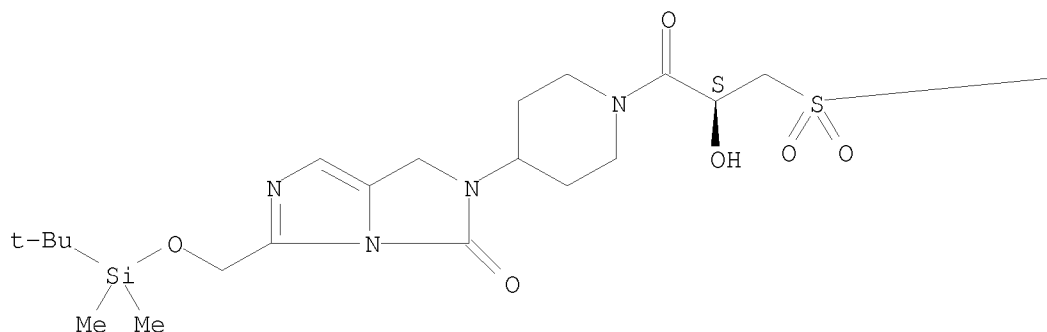
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)



RN 701299-64-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:265847 CAPLUS

DOCUMENT NUMBER: 140:321370

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent
kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;
Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil;
Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik
M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent;
Fischmann, Thierry O.; Dillard, Lawrence W.; Tran,
Vinh D.; He, Zhen Min; James, Ray Anthony; Park,
Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas
Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

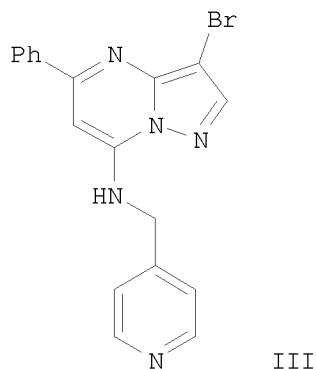
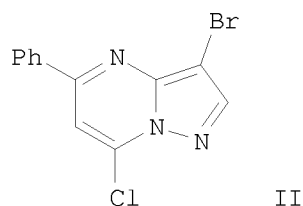
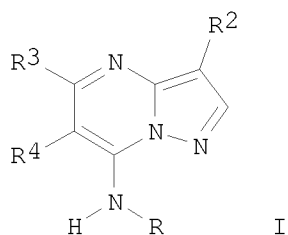
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022561	A1	20040318	WO 2003-XA27555	20030903 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,			

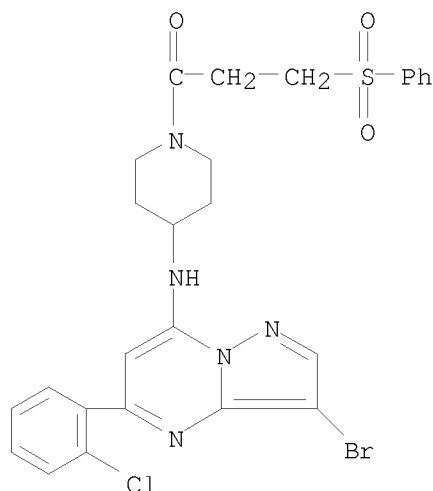
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG
 CN 1735614 A 20060215 CN 2003-824997 20030903 <--
 CN 100376580 C 20080326
 CN 1880317 A 20061220 CN 2006-10101322 20030903 <--
 ZA 2005001855 A 20060329 ZA 2005-1855 20060117 <--
 PRIORITY APPLN. INFO.: US 2002-408027P P 20020904 <--
 US 2002-421959P P 20021029 <--
 CN 2003-824997 A3 20030903
 GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 677789-58-1P
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS
 CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:10480 CAPLUS

DOCUMENT NUMBER: 136:85818

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents

INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Munchhof, Michael John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

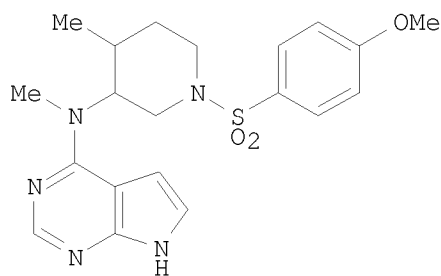
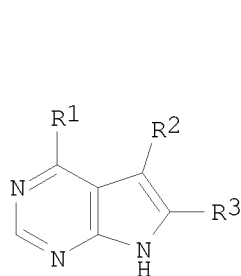
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000661	A1	20020103	WO 2001-IB975	20010605 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412560	A1	20020103	CA 2001-2412560	20010605 <--
CA 2412560	C	20081230		
EP 1294724	A1	20030326	EP 2001-934243	20010605 <--
EP 1294724	B1	20060419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003001114	A2	20030828	HU 2003-1114	20010605 <--
HU 2003001114	A3	20041129		
BR 2001011561	A	20030909	BR 2001-11561	20010605 <--
JP 2004501922	T	20040122	JP 2002-505785	20010605 <--
JP 4068958	B2	20080326		
EE 200200711	A	20040615	EE 2002-711	20010605 <--
NZ 522364	A	20040924	NZ 2001-522364	20010605 <--
AU 784297	B2	20060302	AU 2001-60538	20010605 <--

AT 323704	T	20060515	AT 2001-934243	20010605 <--
ES 2257410	T3	20060801	ES 2001-934243	20010605 <--
EP 1686130	A1	20060802	EP 2006-7969	20010605 <--
EP 1686130	B1	20090218		
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CN 100351253	C	20071128	CN 2001-811792	20010605 <--
AP 1911	A	20081031	AP 2002-2695	20010605 <--
AT 423120	T	20090315	AT 2006-7969	20010605 <--
ES 2318605	T3	20090501	ES 2006-7969	20010605 <--
IL 152771	A	20090615	IL 2001-152771	20010605 <--
TW 243820	B	20051121	TW 2001-90115016	20010620 <--
US 20020068746	A1	20020606	US 2001-891028	20010625 <--
US 6696567	B2	20040224		
IN 2002DN01075	A	20050128	IN 2002-DN1075	20021030 <--
BG 107236	A	20030930	BG 2002-107236	20021031 <--
NO 2002006030	A	20021216	NO 2002-6030	20021216 <--
NO 324934	B1	20080107		
MX 2003000068	A	20030925	MX 2003-68	20021219 <--
ZA 2002010275	A	20031219	ZA 2002-10275	20021219 <--
US 20030220353	A1	20031127	US 2003-463724	20030616 <--
US 6962993	B2	20051108		
HK 1054930	A1	20080606	HK 2003-107143	20031003 <--
US 20050197349	A1	20050908	US 2005-112307	20050421 <--
US 7192963	B2	20070320		
US 20070161666	A1	20070712	US 2007-710164	20070222 <--
PRIORITY APPLN. INFO.:			US 2000-214287P	P 20000626 <--
			EP 2001-934243	A3 20010605 <--
			WO 2001-IB975	W 20010605 <--
			US 2001-891028	A1 20010625 <--
			US 2003-463724	A1 20030616
			US 2005-112307	A3 20050421
OTHER SOURCE(S):	MARPAT 136:85818			
GI				

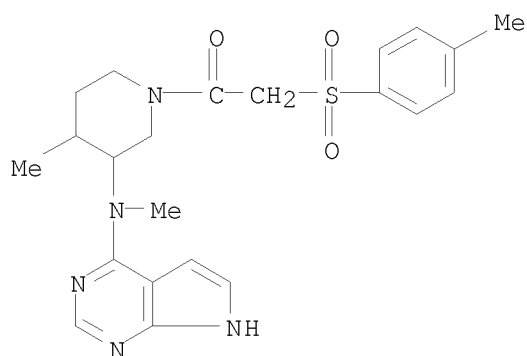


AB The title compds. [I; R1 = NR4(CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkylsulfonyl, etc.; R5 = substituted heterocycloalkyl); R2, R3 = H, NH2, halo, etc.], useful as inhibitors of protein kinases, such as the enzyme Janus Kinase 3 (no data given), were prepared, e.g., a multi-step synthesis of II was given.

IT 384337-72-8P 384337-79-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents)

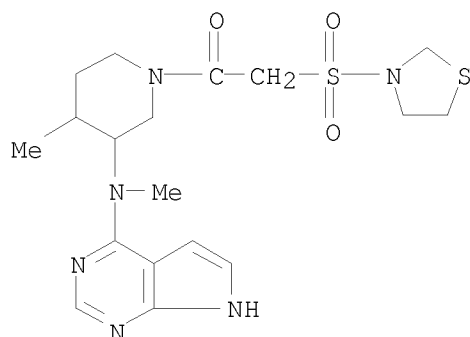
RN 384337-72-8 CAPLUS

CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidinyl]-2-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 384337-79-5 CAPLUS

CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidiny]-2-(3-thiazolidinylsulfonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:762989 CAPLUS

DOCUMENT NUMBER: 135:318419

TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists

INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

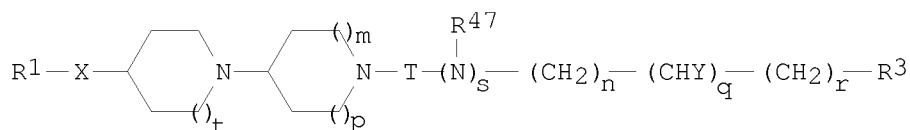
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,				

	LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,		
	RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,		
	VN, YU, ZA, ZW		
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,		
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,		
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
CA 2403012	A1	20011018	CA 2001-2403012 20010405 <--
EP 1274701	A1	20030115	EP 2001-920053 20010405 <--
EP 1274701	B1	20050629	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
BR 2001009922	A	20030218	BR 2001-9922 20010405 <--
CN 1433411	A	20030730	CN 2001-810683 20010405 <--
CN 1244576	C	20060308	
JP 2003530393	T	20031014	JP 2001-575574 20010405 <--
NZ 521543	A	20041029	NZ 2001-521543 20010405 <--
EP 1493743	A1	20050105	EP 2004-20599 20010405 <--
EP 1493743	B1	20080903	
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	IE, SI, FI, CY, TR		
AT 298748	T	20050715	AT 2001-920053 20010405 <--
CN 1660839	A	20050831	CN 2004-10102245 20010405 <--
AU 2001246997	B2	20070329	AU 2001-246997 20010405 <--
AT 407131	T	20080915	AT 2004-20599 20010405 <--
ES 2311772	T3	20090216	ES 2004-20599 20010405 <--
US 20020077337	A1	20020620	US 2001-827488 20010406 <--
US 6525070	B2	20030225	
ZA 2002007700	A	20040102	ZA 2002-7700 20020925 <--
NO 2002004774	A	20021129	NO 2002-4774 20021003 <--
MX 2002009885	A	20030327	MX 2002-9885 20021007 <--
US 20040006080	A1	20040108	US 2003-341027 20030113 <--
US 6903115	B2	20050607	
US 20040014783	A1	20040122	US 2003-436582 20030513 <--
US 7238811	B2	20070703	
HK 1051193	A1	20051028	HK 2003-103424 20030514 <--
US 20050171092	A1	20050804	US 2005-76773 20050310 <--
US 7179922	B2	20070220	
US 20070179297	A1	20070802	US 2007-732411 20070403 <--
PRIORITY APPLN. INFO.:			GB 2000-8626 A 20000408 <--
			GB 2000-19111 A 20000803 <--
			SE 2000-3664 A 20001011 <--
			CN 2001-810683 A3 20010405 <--
			EP 2001-920053 A3 20010405 <--
			WO 2001-SE751 W 20010405 <--
			US 2001-827488 A3 20010406 <--
			US 2003-341027 A1 20030113
			US 2003-436582 A3 20030513

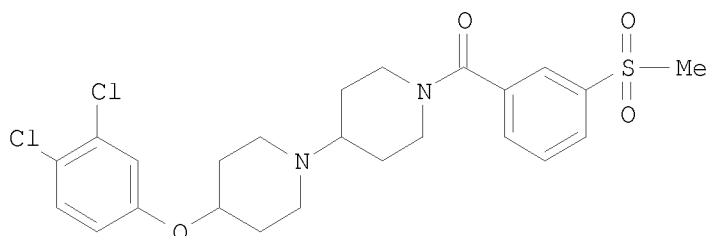
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:318419

GI



I



II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR₂, OH; T = C(O), C(S), S(O), CH₂; R₁ = H, alkyl, aryl, heterocyclyl; R₂, R₄₇ = H, alkyl, aryl-alkyl, CO-alkyl; R₃ = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca²⁺ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)₃, HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting

bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)₂NEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

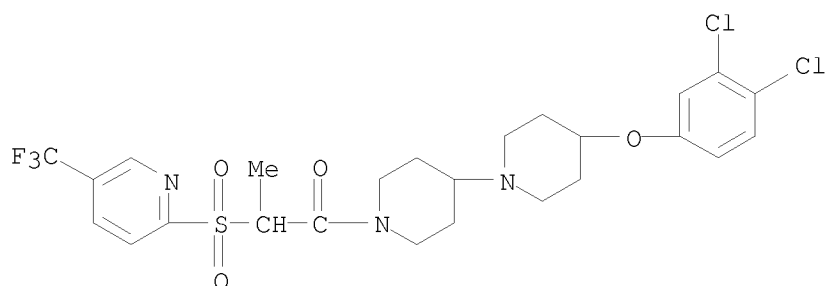
IT 367498-05-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of substituted bipiperidines and use as H1 antagonists)

RN 367498-05-3 CAPLUS

CN 1-Propanone, 1-[4-(3,4-dichlorophenoxy)[1,4'-bipiperidin]-1'-yl]-2-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS
RECORD (54 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:453019 CAPLUS

DOCUMENT NUMBER: 135:46106

TITLE: 4-Aminopiperidine derivatives, processes for their
preparation, pharmaceutical compositions, and their
use as medicines, specifically as somatostatin
receptor ligands

INVENTOR(S): Thirieau, Christophe; Gonzalez, Jerome; Moinet,
Christophe

PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications
Scientifiques (S.C.R.A.S.), Fr.

SOURCE: PCT Int. Appl., 193 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2802206	A1	20010615	FR 1999-15724	19991214 <--
FR 2802206	B1	20050422		
CA 2394086	A1	20010621	CA 2000-2394086	20001213 <--
EP 1286966	A1	20030305	EP 2000-993405	20001213 <--
EP 1286966	B1	20080716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002004515	A2	20030428	HU 2002-4515	20001213 <--
HU 2002004515	A3	20050428		
JP 2003516965	T	20030520	JP 2001-544681	20001213 <--
NZ 520071	A	20030630	NZ 2000-520071	20001213 <--
AU 779341	B2	20050120	AU 2001-28560	20001213 <--
CN 1207283	C	20050622	CN 2000-817177	20001213 <--
RU 2266282	C2	20051220	RU 2002-118705	20001213 <--
AT 401308	T	20080815	AT 2000-993405	20001213 <--
ES 2310529	T3	20090116	ES 2000-993405	20001213 <--
US 20040006089	A1	20040108	US 2002-130924	20020523 <--
US 7115634	B2	20061003		
HK 1054029	A1	20090529	HK 2003-106281	20030903 <--
US 20050239796	A1	20051027	US 2005-122293	20050504 <--
US 7393861	B2	20080701		
KR 2007014235	A	20070131	KR 2007-701118	20070116 <--
US 20090149652	A1	20090611	US 2008-151115	20080502 <--
PRIORITY APPLN. INFO.:				
			FR 1999-15724	A 19991214 <--
			WO 2000-FR3497	W 20001213 <--
			US 2002-130924	A3 20020523 <--

KR 2002-707506

A3 20020612 <--

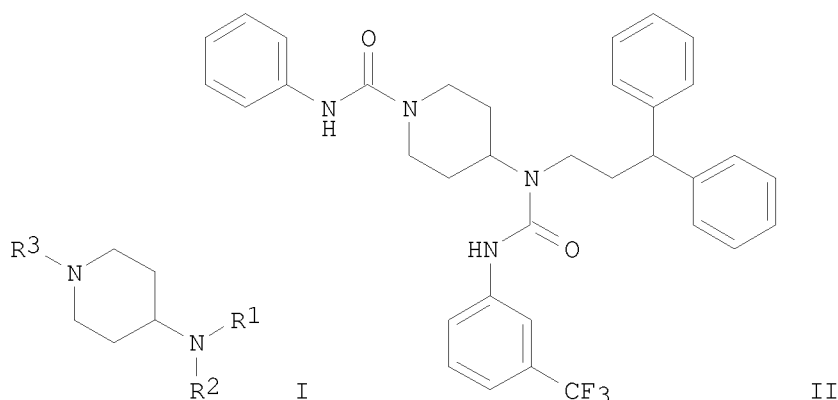
US 2005-122293

A3 20050504

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:46106

GI



AB The invention concerns novel 4-aminopiperidine derivs. I [R¹ = alkyl, alkenyl, alkynyl, (CH₂)_mYZ₁, (CH₂)_mZ₂, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z₁ = alkyl or (un)substituted aryl; Z₂ = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R² = C(Y)NHX₁, C(O)X₂, SO₂X₃; R³ = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX₁, (CH₂)_nC(O)X₂, SO₂X₃, etc.; X₁ = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X₂ = wide variety of groups; X₃ = alkyl, alkenyl, phenylalkenyl, CF₃, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropancreatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)₃, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF₃CO₂H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar K_i for at least one of five tested somatostatin receptor subtypes (no data).

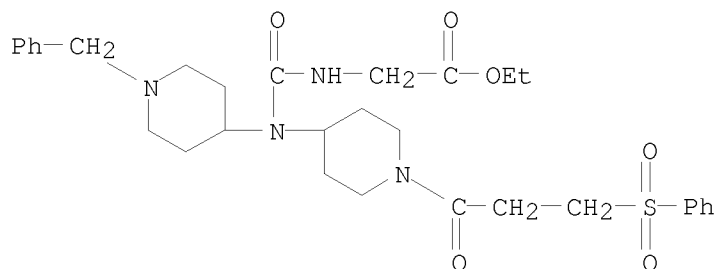
IT 344783-02-4P 344783-21-7P 344783-40-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

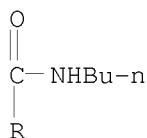
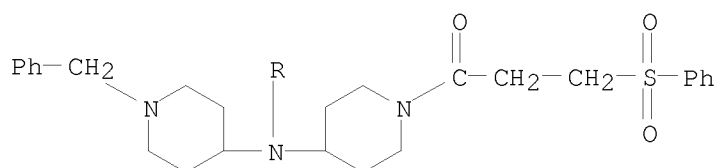
RN 344783-02-4 CAPLUS

CN Glycine, N-[[[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl][1-(phenylmethyl)-4-piperidinyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



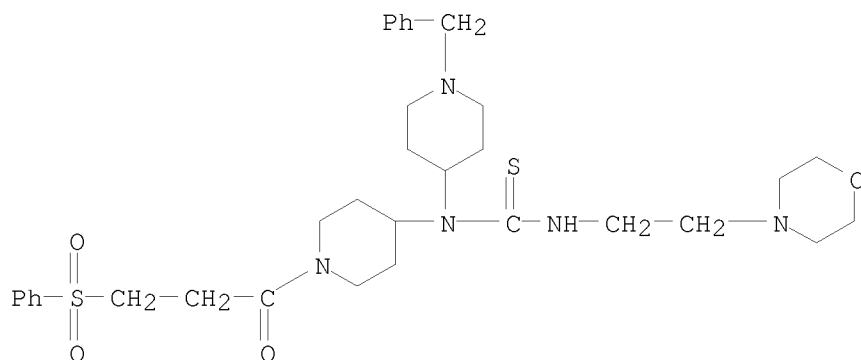
RN 344783-21-7 CAPLUS

CN Urea, N'-butyl-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)



RN 344783-40-0 CAPLUS

CN Thiourea, N'-[2-(4-morpholinyl)ethyl]-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:466913 CAPLUS

DOCUMENT NUMBER: 125:142726
ORIGINAL REFERENCE NO.: 125:26717a,26720a
TITLE: Muscarine antagonists
INVENTOR(S): Thompson, Wayne J.; Sugrue, Michael F.; Ransom, Richard W.; Mallorga, Pierre J.; Bell, Ian M.; Smith, Anthony M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613262	A1	19960509	WO 1995-US13710	19951024 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5574044	A	19961112	US 1994-329757	19941027 <--
US 5691323	A	19971125	US 1995-440153	19950512 <--
CA 2200468	A1	19960509	CA 1995-2200468	19951024 <--
AU 9539674	A	19960523	AU 1995-39674	19951024 <--
AU 701127	B2	19990121		
EP 786997	A1	19970806	EP 1995-937615	19951024 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 2002515008	T	20020521	JP 1996-514691	19951024 <--
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			WO 1995-US13710	W 19951024 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

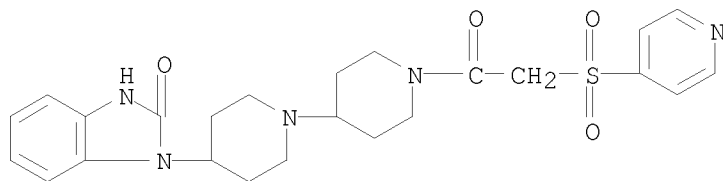
OTHER SOURCE(S): CASREACT 125:142726; MARPAT 125:142726

AB Compds., 1,3-dihydro-1-{1-[piperidin-4-yl]piperidin-4-yl}-2H-benzimidazol-2-ones and 1,3-dihydro-1-{4-amino-1-cyclohexyl}-2H-benzimidazol-2-ones and derivs. thereof, their preparation, method of use and pharmaceutical compns. are described. These compds. are endowed with antimuscarinic activity and are useful in the treatment and/or prevention of myopia (commonly known as nearsightedness).

IT 179323-34-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 179323-34-3 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1'-(2-(4-pyridinylsulfonyl)acetyl)[1,4'-bipiperidin]-4-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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279.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Nov 20, 2009 (20091120/UP).

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LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

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TOTAL

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SINCE FILE

TOTAL

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CA SUBSCRIBER PRICE

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